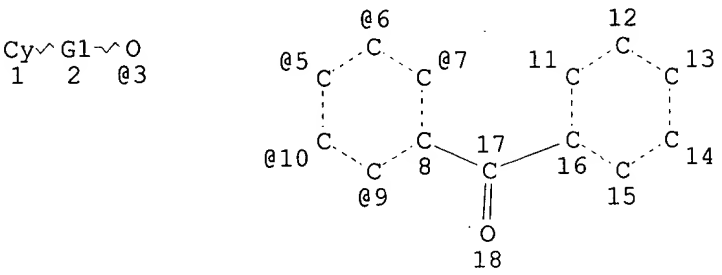
Mohamed, A.
09/905235

(FILE 'REGISTRY' ENTERED AT 10:01:15 ON 21 MAR 2002)

L1 STR



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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

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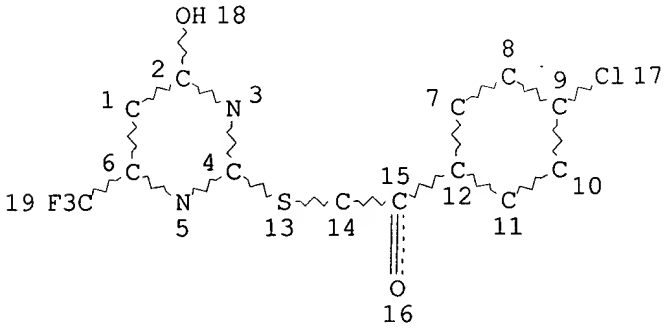

Species

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DEFAULT ECLEVEL IS LIMITED

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STEREO ATTRIBUTES: NONE

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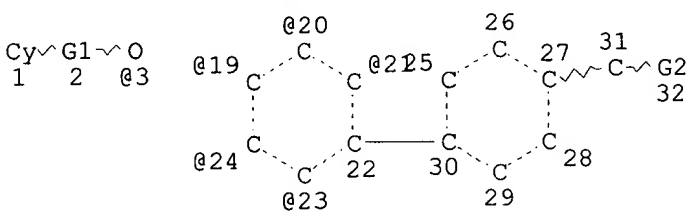

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wherein B =
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VAR G2=C/N
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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE
L6 2469 SEA FILE=REGISTRY SSS FUL L1 OR L3 OR L4

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(FILE 'CAPLUS' ENTERED AT 10:05:09 ON 21 MAR 2002)
L7 935 S L6 OR L6/D
L8 29 S L7 AND (?ATHEROSCLER? OR ?ARTERIOSCLER? OR ARTER?)

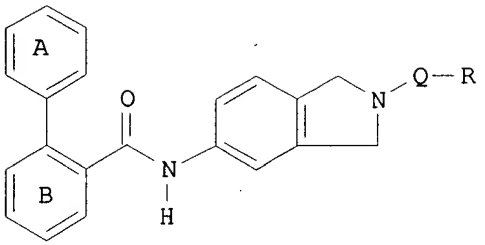
L8 ANSWER 1 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:142672 CAPLUS
TITLE: Preparation of biphenylcarboxamidoisoindoline derivatives as apolipoprotein B secretion inhibitors
INVENTOR(S): Yamada, Harutami; Ando, Akira; Kawanishi, Hiroyuki; Nagata, Koichi; Yasuhara, Mikiko
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014277	A1	20020221	WO 2001-JP6844	20010809

W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-243004 A 20000810
JP 2001-172918 A 20010607

GI



I

AB The title compds. I [ring A is a substituted or unsubstituted benzene ring; ring B is a substituted or unsubstituted benzene ring; Q is CO or CH2; and R is substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted carbamoyl, a substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl, or the like], useful as apolipoprotein B secretion inhibitors (no data), are prepd. Processes for the prepn. of I are claimed. For example, 2-(2-pyridyl)acetyl-5-[2-(4-trifluoromethylphenyl)benzoylamino]isoindoline was prepd.

IT **400726-26-3P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of biphenylcarboxamidoisoindoline derivs. as apolipoprotein B secretion inhibitors)

IT **400727-61-9P 400727-62-0P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of biphenylcarboxamidoisoindoline derivs. as apolipoprotein B secretion inhibitors)

REFERENCE COUNT: 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:117495 CAPLUS
DOCUMENT NUMBER: 136:161368
TITLE: Synergy between low-molecular-weight heparin and platelet aggregation inhibitors, providing a combination therapy for the prevention and treatment of various thromboembolic disorders
INVENTOR(S): Wong, Pancras C.; Mousa, Shaker A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA
SOURCE: U.S., 15 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6346517	B1	20020212	US 2000-523395	20000310

PRIORITY APPLN. INFO.: US 1999-123820P P 19990311

AB A combination therapy is provided which comprises the administration of a low-mol.-wt. heparin (e.g. tinzaparin) and a platelet GPIIb/IIIa antagonist (e.g. roxifiban) for treating, preventing, and reducing the risk of thromboembolic disorders.

IT **149503-79-7**, Lefradafiban
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(low-mol.-wt. heparin-platelet aggregation inhibitor synergistic combination for prevention and treatment of thromboembolic disease)

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:107318 CAPLUS
DOCUMENT NUMBER: 136:151163
TITLE: Preparation of indazole derivatives as JNK enzyme inhibitors
INVENTOR(S): Bhagwat, Shripad S.; Satoh, Yoshitaka; Sakata, Steven T.
PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 412 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002010137	A2	20020207	WO 2001-US23890	20010730

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-221799P P 20000731

AB Indazole derivs., 3-R1A-5-R2-1H-indazoles (1), having activity as selective inhibitors of JNK are disclosed. In 1: A is a direct bond, -(CH2)a-, -(CH2)bCH:CH(CH2)c-, or -(CH2)bC.tplbond.C(CH2)c-; R1 is aryl, heteroaryl or heterocycle fused to Ph, each being optionally substituted with 1-4 R3; R2 is -R3, -R4, -(CH2)bC(O)R5, -(CH2)bC(:O)OR5, -(CH2)bC(O)NR5R6, -(CH2)bC(O)NR5(CH2)cC(O)R6, -(CH2)bNR5C(O)R6, -(CH2)bNR5C(O)NR6R7, -(CH2)bNR5R6, -(CH2)bOR5, -(CH2)bSOdR5 or -(CH2)bSO2NR5R6. A is 1-6; b and c are the same or different and are 0-4; d is 0-2. R3 is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(O)OR8, -C(O)R8, -C(O)NR8R9, -C(O)NR8OR9,

-SO2NR8R9, -NR8SO2R9, -CN, -NO2, -NR8R9, -NR8C(O)R9, -NR8C(O)(CH2)bOR9, -NR8C(O)(CH2)bR9, -O(CH2)bNR5R9, or heterocycle fused to Ph. R4 is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with 1-4 R3, or R4 is halogen or hydroxy. R5, R6and R7 are the same or different and are H, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R5, R6 and R7 are optionally substituted with 1-4 R3. R8 and R9 are the same or different and at each occurrence independently H, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R8 and R9 taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R8, R9, and R8 and R9 taken together to form a heterocycle are optionally substituted with 1-4 R3 with the proviso that: when A is a direct bond and R1 is Ph, R2 is not Me, methoxy, C(O)CH3 or C(O)H; when A is a direct bond and R1 is 4-Me-Ph, R2 is not Me; when A is a direct bond and R1 is 4-F-Ph, R2 is not trifluoromethyl; when A is a direct bond or -C.tplbond.C- and R1 is Ph, R2 is not -COOEt; and when A is a direct bond and R1 is 6,7-dimethoxyisoquinolin-1-yl, R2 is not hydroxy. Such compds. have utility in the treatment of a wide range of conditions that are responsive to JNK inhibition. Thus, methods of treating such conditions are also disclosed, as are pharmaceutical compns. contg. one or more compds. of the above compds. Many of the claimed compds. have IC50 values .ltoreq.0.5 .mu.M in the JNK2 assay, e.g. 5-[3-(4-fluorophenyl)-1H-indazol-5-yl]-2H-1,2,3,4-tetrazole. Although the methods of prepn. are not claimed, >400 example prepns. are included.

IT **395099-07-7P**, N-[2-(Phenylcarbonyl)-4-(phenylmethoxy)phenyl]benzamide **395099-09-9P**, 2-Amino-5-(phenylmethoxy)phenyl phenyl ketone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of indazole derivs. as JNK enzyme inhibitors)

L8 ANSWER 4 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:906823 CAPLUS
TITLE: Clinical trials with glycoprotein IIB/IIIA antagonists no benefit without bleeding?
AUTHOR(S): Doggrell, Sheila A.
CORPORATE SOURCE: Department of Physiology and Pharmacology, The University of Queensland, Brisbane, 4072, Australia
SOURCE: Drugs of Today (2001), 37(8), 509-531
CODEN: MDACAP; ISSN: 0025-7656
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB As the glycoprotein GPIIb/IIIa receptor is the final common pathway in platelet aggregation, antagonists of this receptor cause a profound inhibition of aggregation induced by any agonist. The short-term efficacy and safety of GPIIb/IIIa antagonists in patients undergoing coronary angioplasty was demonstrated with murine 7E3 Fab, but this antibody was immunogenic. Abciximab is a chimeric human-mouse monoclonal antibody that is less immunogenic. The first major trial with a GPIIb/IIIa antagonist was the EPIC trial with abciximab, which showed that abciximab reduced the ischemic complications of coronary balloon angioplasty and atherectomy in high-risk patients, but increased the risk of bleeding. Subsequent

studies showed that using less concurrent heparin reduced bleeding. Abciximab also reduced the rate of revascularization. Further studies have shown that the benefits of abciximab extended to all patients undergoing angioplasty (EPILOG), including patients with unstable angina (CAPTURE) and acute myocardial infarction (RAPPORT). Clin. trials with eptifibatide and tirofiban have failed to demonstrate benefit, at the doses used, in angioplasty. Abciximab and eptifibatide, but not oral xemilofiban, improve the safety of the coronary stenting procedure. Short-term i.v. treatment with lamifiban, eptifibatide or tirofiban is beneficial in acute coronary syndromes (unstable angina, non-Q wave myocardial infarction). Orally active GPIIb/IIIa antagonists are being developed for use in acute coronary syndromes and myocardial infarction. However, no benefit has been shown with lefradafiban in acute coronary syndromes and sibrafiban and orbofiban are harmful. Eptifibatide, lamifiban and abciximab improve coronary patency in myocardial infarction, and long- term trials of GPIIb/IIIa antagonists are being conducted in acute myocardial infarction. Abciximab can cause thrombocytopenia, and all the GPIIb/IIIa antagonists increase the incidence of bleeding, but there is no excess of intracranial hemorrhage.

IT **149503-79-7**, Lefradafiban
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(trials with glycoprotein IIB/IIIA antagonists in humans with heart disease and risks of bleeding)

REFERENCE COUNT: 79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

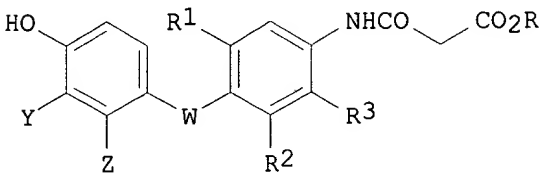
L8 ANSWER 5 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:833261 CAPLUS
DOCUMENT NUMBER: 135:371762
TITLE: Preparation of malonanilic acid derivatives as preventives or remedies for circulatory disease
INVENTOR(S): Shiohara, Hiroaki; Nakamura, Tetsuya; Kikuchi, Norihiko; Ohnota, Hideki; Koizumi, Takashi; Kitazawa, Makio
PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085670	A1	20011115	WO 2001-JP3499	20010424

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,

TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-140743 A 20000512
OTHER SOURCE(S): MARPAT 135:371762
GI



I

AB Compds. represented by the general formula (I) or pharmacol. acceptable salts thereof [wherein W represents oxygen, sulfur, methylene, CO, SO, or SO2; R represents hydrogen, C1-6 alkyl or aryl-C1-6 alkyl; R1 and R2 represent each C1-3 alkyl, CF3, or halogeno; R3 represents hydrogen, C1-3 alkyl, halogeno, or CF3; Y represents C1-6 alkyl, CF3, 6-oxo-1,6-dihydropyridazin-3-ylmethyl, or -Q-T (wherein Q represents oxygen, methylene, hydroxymethylene, or CO; and T represents optionally substituted aryl or arylmethyl or cycloalkylmethyl optionally contg. O in the ring); and Z represents hydrogen or C1-3 alkoxy or Y and Z are linked together to form tetramethylene] are prepd. Theses compds. I have excellent effects of lowering neutral fat level and non-HDL cholesterol level in the blood, inhibiting or suppressing the accumulation of neutral fat in the liver and protecting or ameliorating the liver function and, therefore, are useful as preventives or remedies for circulatory diseases such as hyperlipemia, **arteriosclerosis**, fatty liver, and hepatitis. Thus, 4-[3-(4-fluorobenzoyl)-4-hydroxyphenoxy]-3,5-dimethylmalonanilic acid Et ester was reduced by NaBH4 in THF at room temp. for 13 h to give 4-[3-[(4-fluorophenyl)hydroxymethyl]-4-hydroxyphenoxy]-3,5-dimethylmalonanilic acid Et ester which was converted into 4-[3-[(4-fluorophenyl)hydroxymethyl]-4-hydroxyphenoxy]-3,5-dimethylmalonanilic acid potassium salt (II). II at 30 nmol/kg twice a day for 2 wk lowered the triglyceride level in liver of male KK-Ay mice from 16.1 (control) to 2.8 mg/1 g liver.

IT **373642-47-8P 373643-04-0P 373643-14-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of malonanilic acid derivs. lowering neutral fat level and non-HDL cholesterol level in blood as preventives or remedies for circulatory diseases)

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:137681 CAPLUS
DOCUMENT NUMBER: 135:14105
TITLE: Comparative specificity of platelet .alpha.IIb.beta.3 integrin antagonists
AUTHOR(S): Thibault, Gaetan; Tardif, Patrick; Lapalme, Genevieve

CORPORATE SOURCE: Laboratoire de biologie cellulaire de l'hypertension, Institut de recherches cliniques de Montreal and Universite de Montreal, Montreal, QC, Can.
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2001), 296(3), 690-696
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Several platelet .alpha.IIb.beta.3 integrin antagonists have been designed as preventive agents against the formation of **arterial** thrombi. Although the potency of these compds. in inhibiting platelet aggregation is in the nanomolar range, their specificity on other integrins that can bind ligands through an arginine-glycine-aspartic acid (RGD) motif is far from being well established. For instance, some cyclic RGD peptides can also interact with .alpha.v.beta.3 integrin. We used a novel pharmacol. assay, based on SDS-stable interaction between 125I-echistatin and RGD-dependent integrins, to evaluate the specificity of several RGD compds. on integrins present on rat cardiac fibroblasts and human skin fibroblasts. None of the RGD peptidomimetics tested (L-734,217, lamifiban, Ro 44-3888, SR 121566A, BIBU-52, XV459) could interact with either .alpha.v.beta.3 and .alpha.8.beta.1 on rat fibroblasts or with .alpha.v.beta.3 and .alpha.v.beta.1 on human fibroblasts. Cyclic RGD peptides showed some potency (3-80 .mu.M) on rat and human integrins with an .alpha.v subunit. We also compared the potency of these compds. on platelets. All RGD compds. demonstrated IC50 between 0.6 and 530 nM on basal human platelets. Activation of the receptor with thrombin resulted in a 2- to 60-fold increase in potency, with L-734,217 and BIBU-52 showing the largest difference. On basal and thrombin-activated rat platelets, only eptifibatide, DMP728, and XJ735 could displace 125I-echistatin (IC50 .apprxeq. 0.1-1.5 .mu.M). These results indicate that RGD peptidomimetics have a specificity limited to .alpha.IIb.beta.3 integrin, whereas cyclic RGD peptides can also interact with other RGD-dependent integrins, particularly those of the .alpha.v subunit family.

IT **148396-36-5**, BIBU 52
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(comparative specificity of platelet .alpha.IIb.beta.3 integrin antagonists)

REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

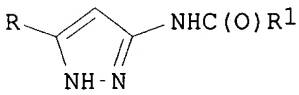
L8 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:137023 CAPLUS
DOCUMENT NUMBER: 134:178552
TITLE: 3(5)-Acylaminopyrazole derivatives, process for their preparation and their use as antitumor agents
INVENTOR(S): Pevarello, Paolo; Orsini, Paolo; Traquandi, Gabriella; Varasi, Mario; Fritzen, Edward L.; Warpehoski, Martha A.; Pierce, Betsy S.; Brasca,

Maria Grabriella
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012189	A1	20010222	WO 2000-US6699	20000505
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6218418	B1	20010417	US 2000-667603	20000922

PRIORITY APPLN. INFO.: US 1999-372831 A 19990812
US 2000-560400 A1 20000428

OTHER SOURCE(S): MARPAT 134:178552
GI



I

AB Compds. which are 3-acylaminopyrazole derivs. (I; e.g. N-(5-cyclopropyl-1H-pyrazol-3-yl)-2,2-diphenylacetamide) wherein R is C3-C6 cycloalkyl group optionally substituted by a straight or branched C1-C6 alkyl or arylalkyl group; R1 is a straight or branched C1-C6 alkyl, C2-C4 alkenyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, arylalkyl, arylcarbonyl, aryloxyalkyl or arylalkenyl group, each of which may be optionally further substituted as indicated in the description; or a pharmaceutically acceptable salt thereof, processes for their prepn. and their therapeutic uses. The compds. are useful for the treatment of cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases, but no quant. test results are presented. The cancer is selected from carcinoma, squamous cell carcinoma, hematopoietic tumors of myeloid or lymphoid lineage, tumors of mesenchymal origin, tumors of the central and peripheral nervous system, melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma. The cell proliferative disorder is selected from benign prostate hyperplasia, familial adenomatosis polyposis, neuro-fibromatosis, psoriasis, vascular smooth cell proliferation assocd. with **atherosclerosis**, pulmonary fibrosis, arthritis glomerulonephritis and post-surgical stenosis and restenosis. The

method of treatment provides tumor angiogenesis and metastasis inhibition, cell cycle inhibition or cdk/cyclin dependent inhibition, and treatment or prevention of radiotherapy-induced or chemotherapy-induced alopecia. A process for prepg. the 3-aminopyrazole deriv. or the pharmaceutically acceptable salt thereof, comprising: (a) reacting RCO2R2 (R2 = alkyl), with MeCN in the presence of a basic agent, to obtain RC(O)CH2CN; (b) reacting RC(O)CH2CN with hydrazine hydrate to obtain an 3-amino-5-R-1H-pyrazole; (c) oxidizing the 3-amino-5-R-1H-pyrazole to obtain the nitro analog; (d) reacting the nitro compd. with tert-butoxycarbonyl anhydride (Boc2O) to obtain the N-Boc deriv.; (e) reducing this BOC deriv. to obtain the amino analog; (f) reacting this amino compd. with R1C(O)X (X = OH or a suitable leaving group) to obtain the N1-Boc-protected I; and (g) hydrolyzing this intermediate in an acidic medium to obtain I. Other methods of prepn. are also claimed.

IT **326826-97-5P**, 2-[4'-(Benzyloxy)[1,1'-biphenyl]-4-yl]-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(acylaminopyrazole derivs., process for prepn. and use as antitumor agents)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:137017 CAPLUS
DOCUMENT NUMBER: 134:193737
TITLE: Preparation of heterocyclic amides with amino acids as cell adhesion inhibitors
INVENTOR(S): Hagmann, William K.; Delaszlo, Stephen E.; Doherty, George; Chang, Linda L.; Yang, Ginger X.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012183	A1	20010222	WO 2000-US22115	20000814

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-149042P P 19990816

OTHER SOURCE(S): MARPAT 134:193737

AB Heterocyclic amides R1-Y-CR2-CONR2CR3R4-Z-CO2R5 [CR2 is an optionally substituted or aryl-fused 4- to 8-membered monocyclic satd. heterocyclic ring having one or two heteroatoms chosen from O, S, SO, and SO2; Y is a bond, (un)substituted alkylene, alkenylene, or alkynylene; Z is a bond or CR5R6, where R5 is H, alkyl, alkenyl, alkynyl, Cy (cycloalkyl, heterocyclyl, aryl, or heteroaryl), or Cy-alkyl and R6 = H, alkyl, aryl, hydroxy, NO2, halo, CN, etc.; R1 = H, Cy, OR5, O2CR5, COR5, carboxamido group, etc.; R2, R4 = H, (un)substituted alkyl, alkenyl, or alkynyl; R3 = alkyl, Ar1, alkyl-Ar1, Ar1-Ar2, alkyl-Ar1-Ar2, where Ar1 and Ar2 are (un)substituted aryl or heteroaryl; R5 = Cy or any group given for R2 or R4] were prepd. as antagonists of VLA-4 and/or .alpha.4.beta.7 and thus are useful in the inhibition or prevention of cell adhesion and cell-adhesion mediated pathologies. Thus, N-[(S)-5-oxotetrahydro-2-furoyl]-4-(2-cyanophenyl)-L-phenylalanine was prepd. by the solid phase method.

IT **327616-98-8P 327617-01-6P 327617-02-7P 327617-03-8P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic amides with amino acids as cell adhesion inhibitors)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:24445 CAPLUS
DOCUMENT NUMBER: 135:116824
TITLE: Safety and preliminary efficacy of one month glycoprotein IIb/IIIa inhibition with lefradafiban in patients with acute coronary syndromes without ST-elevation: A phase II study
AUTHOR(S): Akkerhuis, K. M.; Neuhaus, K.-L.; Wilcox, R. G.; Vahanian, A.; Boland, J.-L.; Hoffmann, J.; Baardman, T.; Nehmiz, G.; Roth, U.; Klootwijk, A. P. J.; Deckers, J. W.; Simoons, M. L.
CORPORATE SOURCE: Thoraxcenter, Erasmus University and University Hospital Rotterdam, Rotterdam, 3000 CC, Neth.
SOURCE: European Heart Journal (2000), 21(24), 2042-2055
CODEN: EHJODF; ISSN: 0195-668X
PUBLISHER: W. B. Saunders Co. Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Oral glycoprotein IIb/IIIa inhibitors might enhance the early benefit of an i.v. agent and prevent subsequent cardiac events in patients with acute coronary syndromes. We assessed the safety and preliminary efficacy of 1 mo treatment with three dose levels of the oral GP IIb/IIIa blocker lefradafiban in patients with unstable angina or myocardial infarction without persistent ST elevation. The Fibrinogen Receptor Occupancy STudy (FROST) was designed as a dose-escalation trial with 20, 30 and 45 mg lefradafiban t.i.d. or placebo. Five hundred and thirty-one patients were randomized in a 3:1 ratio to lefradafiban or placebo in a double-blind manner. Efficacy was assessed by the incidence of death, myocardial infarction, coronary revascularization and recurrent angina. Safety was evaluated by the occurrence of bleeding classified according to

the TIMI criteria and by measuring clin. lab. parameters. There was a trend towards a redn. in cardiac events with lefradafiban 30 mg when compared with placebo and lefradafiban 20 mg. The benefit was particularly apparent in patients with a pos. (.gtoreq.0.1 ng.bul.ml-1) troponin I test at baseline and less so in those with a neg. test result. In patients receiving lefradafiban, the cardiac event rate decreased with increasing minimal levels of fibrinogen receptor occupancy. There was a dose-dependent increase in the incidence of bleeding: the composite of major or minor bleeding occurred in 1% of placebo patients, 5% of patients receiving lefradafiban 20 mg and in 7% of patients receiving 30 mg, with an excessive risk (15%) in the 45 mg group which resulted in early discontinuation of this dose level. Gingival and **arterial** or venous puncture site bleedings were most common and accounted for more than 60% of all hemorrhagic events. There was an increased incidence of neutropenia (neutrophils <1.5 .times. 109/l) in the lefradafiban groups (5.2% vs 1.5% in the placebo group), which did not result from bone marrow depression but rather from a reversible redistribution of neutrophils by margination or clustering. One month's treatment with the oral glycoprotein IIb/IIIa inhibitor lefradafiban in patients with unstable angina and myocardial infarction without persistent ST elevation resulted in a decrease in cardiac events with lefradafiban 30 mg and a dose-dependent increase in hemorrhagic events. The obsd. favorable trend towards a redn. in cardiac events in patients with elevated troponin levels requires confirmation in a large clin. trial.

IT **149503-79-7**, Lefradafiban
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(safety and preliminary efficacy of one month glycoprotein IIb/IIIa inhibition with lefradafiban in patients with acute coronary syndromes without ST-elevation)

REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:190929 CAPLUS
DOCUMENT NUMBER: 132:231970
TITLE: Method for treating **atherosclerosis** employing an aP2 inhibitor, and pharmaceutical combinations with other agents
INVENTOR(S): Robl, Jeffrey A.; Parker, Rex A.; Biller, Scott A.; Jamil, Haris; Jacobson, Bruce L.; Kodukula, Krishna
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000015230	A1	20000323	WO 1999-US21069	19990913

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9961437	A1	20000403	AU 1999-61437	19990913
BR 9913831	A	20010529	BR 1999-13831	19990913
EP 1113801	A1	20010711	EP 1999-948210	19990913
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001001352	A	20010511	NO 2001-1352	20010316

PRIORITY APPLN. INFO.: US 1998-100677P P 19980917
WO 1999-US21069 W 19990913

OTHER SOURCE(S): MARPAT 132:231970

AB A method is provided for treating **atherosclerosis** and related diseases, employing an aP2 inhibitor or a combination of an aP2 inhibitor and another **antiatherosclerotic** agent, e.g. an HMG CoA reductase inhibitor such as pravastatin.

IT **261765-72-4**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(aP2 inhibitor for treating **atherosclerosis**, and combinations with other agents)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:151479 CAPLUS
DOCUMENT NUMBER: 132:194298
TITLE: 4-Phenylisoquinolinone derivatives as cGMP phosphodiesterase inhibitors
INVENTOR(S): Ukita, Shinzou; Ohmori, Kenji; Ikeo, Tomihiro
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 54 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000072751	A2	20000307	JP 1998-240837	19980826

OTHER SOURCE(S): MARPAT 132:194298
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title derivs. I [ring A = Q, Q1 [A1 = (1) OH or (2) lower alkoxy

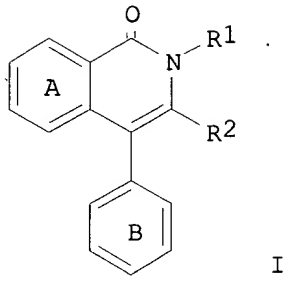
which is substituted with (carboxy)phenyl, (lower hydroxyalkyl)pyridyl, (lower alkyl)pyrimidinyl, pyrazinyl]; benzene ring B may be substituted; R1 = (1) lower alkyl which may be substituted with (lower alkyl)pyridyl, OH, lower alkoxy, CO2H, lower alkoxycarbonyl, CONH2, (2) Ph which is substituted with lower alkoxy, lower hydroxyalkyl, (un)protected lower aminoalkyl, CO2H, lower alkoxycarbonyl, (3) (un)protected amino, (4) (un)protected lower alkylamino, (5) di(lower alkyl)amino; R2 = CO2R3, CONR4R5; R3 = H, ester residue; NR4R5 = (un)substituted aliph. heterocyclyl] or their pharmacol. acceptable salts are prepd. I inhibit cGMP phosphodiesterase (phosphodiesterase V) (no data) and are useful for prevention and treatment of chronic cardiac failure, angina pectoris, impotence, hypertension, pulmonary hypertension, **atherosclerosis**, restenosis after PTCA, etc. 7-Benzyloxy-3-hydroxy-4-(3,4,5-trimethoxyphenyl)-3,4-dihydroisocoumarin-3-carboxylic acid (prepn. given) was treated with 1,3-dimethyl-2-imidazolidinone, N-methylmorpholine, and H2N(CH2)3OH at 80.degree. for 3 h to give 7-benzyloxy-3-carboxy-2-(3-hydroxypropyl)-4-(3,4,5-trimethoxyphenyl)-1(2H)-isoquinolinone. This was dissolved in DMF and the soln. was treated with K2CO3 and MeI at room temp. overnight to give 7-benzyloxy-2-(3-hydroxypropyl)-3-methoxycarbonyl-4-(3,4,5-trimethoxyphenyl)-1(2H)-isoquinolinone.

IT **212500-83-9P 212500-90-8P 212501-19-4P 212501-50-3P 212501-51-4P 212501-55-8P 212501-56-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of phenylisoquinolinones as cGMP phosphodiesterase inhibitors)

L8 ANSWER 12 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:151451 CAPLUS
DOCUMENT NUMBER: 132:207769
TITLE: Preparation of isoquinolinones as effective component in medicine
INVENTOR(S): Ukita, Shinzo; Ohmori, Kanji; Ikeo, Tomihiro
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 148 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000072675	A2	20000307	JP 1998-240446	19980826

OTHER SOURCE(S): MARPAT 132:207769
GI



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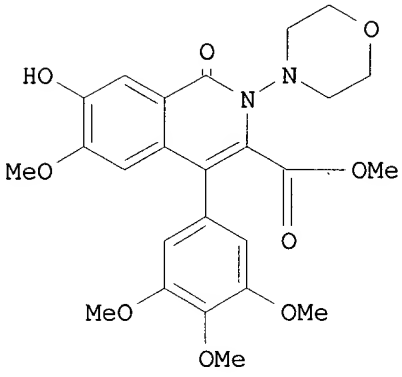


II

AB Title compds. [I; ring A and ring B equiv. or different, substituted or unsubstituted benzene ring; R1 = H, N(CH3)2, 4-H2NC6H4, 4-CH3OCOC6H4, alkyl, cycloalkyl, aryl, complex cyclic; R2 = COOH, COOCH3, COOCH2CH3, COOCH2C6H5, COO(CH2)3CH3] and pharmaceutical acceptable salts are prepd. and tested as PDEV inhibitors. The title compd. II was prepd.

IT **212500-83-9P 212500-88-4P 212500-89-5P**
212500-90-8P 212501-19-4P 212501-50-3P
212501-51-4P 212501-52-5P 212501-53-6P
212501-54-7P 212501-55-8P 212501-56-9P
260407-49-6P 260407-50-9P 260407-62-3P
260407-63-4P 260407-64-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of isoquinolinones as effective component in medicine)

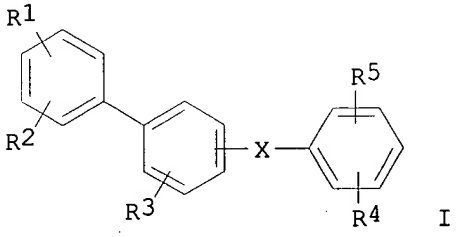
L8 ANSWER 13 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:717857 CAPLUS
DOCUMENT NUMBER: 131:310454
TITLE: Preparation of biphenylcarboxamidines as inhibitors of Coagulation Factor Xa.
INVENTOR(S): Dorsch, Dieter; Juraszyk, Horst; Mederski, Werner; Gante, Joachim; Wurziger, Hanns; Buchstaller, Hans-Peter
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19819548	A1	19991104	DE 1998-19819548	19980430
WO 9957096	A1	19991111	WO 1999-EP2457	19990412
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9938154	A1	19991123	AU 1999-38154	19990412
BR 9910021	A	20001226	BR 1999-10021	19990412
EP 1076643	A1	20010221	EP 1999-920646	19990412
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
NO 2000005435	A	20001027	NO 2000-5435	20001027

PRIORITY APPLN. INFO.: DE 1998-19819548 A 19980430
WO 1999-EP2457 W 19990412

OTHER SOURCE(S): MARPAT 131:310454
GI



I

AB Title compds. [I; R1, R4 = (substituted) C(NH)NH2, NHC(:NH)NH2, CON:C(NH2)2, etc.; R2, R3, R5 = H, A, OR6, N(R6)2, NO2, cyano, halo, COR6, alkylcarbonylamino, etc.; R6 = H, A, PhCH2; A = alkyl optionally interrupted by O, S, CR6:CR6], were prepd. for treatment of thrombosis, infarct, **arteriosclerosis**, inflammation, apoplexy, angina pectoris, restenosis, and intermittent claudication (no data). Thus, 3-bromobenzonitrile, 3-tolylboronic acid, Pd(OAc)2, tri-o-tolylphosphine, Na2CO3, and H2O were stirred at 100.degree. in dimethoxyethane to give 3'-methylbiphenyl-3-carbonitrile. This was heated with NBS and AIBN in CCl4 to give 3'-bromomethylbiphenyl-3-carbonitrile (uncharacterized) which was stirred with 3-hydroxybenzonitrile and Cs2CO3 in MeCN to give 3'-(3-cyanophenoxymethyl)biphenyl-3-carbonitrile. The latter was stirred with NH2OH.HCl and polymer-bound dimethylaminopyridine in EtOH to give N-hydroxy-3'-[3-(N-hydroxycarbamimidoyl)phenoxymethyl]biphenyl-3-carboxamidine. Hydrogenation of the latter in MeOH over Raney Ni gave 3'-(3-carbamimidoylphenoxymethyl)biphenyl-3-carboxamidine.

IT **247183-12-6P 247183-14-8P**

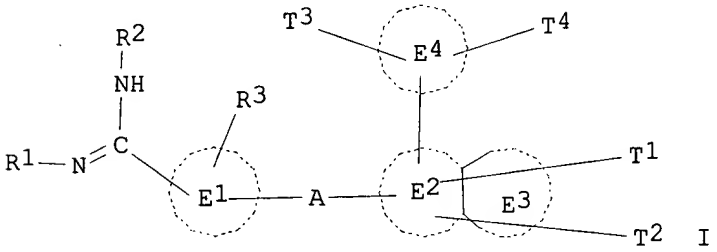
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of biphenylcarboxamidines as inhibitors of Coagulation Factor Xa)

L8 ANSWER 14 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:529128 CAPLUS
DOCUMENT NUMBER: 131:184864
TITLE: Preparation of amidinophenylcarbamoylbiphenyl derivatives and heterocyclic analogs thereof as inhibitors of blood coagulation factor VIIa
INVENTOR(S): Senokuchi, Kazuhiko; Ogawa, Koji
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 665 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9941231	A1	19990819	WO 1999-JP622	19990212
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9923006	A1	19990830	AU 1999-23006	19990212
EP 1078917	A1	20010228	EP 1999-902896	19990212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
ZA 9901273	A	19990825	ZA 1999-1273	19990217
US 6358960	B1	20020319	US 2000-601998	20000811

PRIORITY APPLN. INFO.: JP 1998-76815 A 19980217
WO 1999-JP622 W 19990212

OTHER SOURCE(S): MARPAT 131:184864
GI



I

AB The title compds. I [T1 = (R5)q; T2 = (R7)n; T3 = (R6)m; T4 = (R4)p; R1, R2 = H, alkoxycarbonyl, etc.; a proviso is given; R3 = H, alkyl, etc.; ring E1 = unsatd. heterocyclic ring, etc.; ring E2 = unsatd. heterocyclic ring, etc.; ring E3 = unsatd. or satd. heterocyclic ring, etc.; ring E3 may be omitted; ring E4 = unsatd. heterocyclic ring, etc.; R4, R5 = CO2R8, etc.; R8 = H, alkyl, etc.; p, q = 0, or 1, 2; p + q = 1 or 2; R6, R7 = H, alkyl, etc.; m = 1 - 3; n = 1 - 3] are prepd. I are useful as preventives and/or remedies for various vascular lesions assocg. accelerated coagulation activity, for example, universal intravascular coagulation syndrome, coronary thrombosis, brain infarction, brain embolism, transient cerebral ischemic attack, diseases assocg. cerebral vascular disorders, deep vein thrombosis, peripheral embolism, thrombus formation following artificial blood vessel operation or artificial valve replacement, diseases assocg. postoperative thrombus formation, reobstruction and reconstriction following coronary **artery** bypass, reobstruction and reconstriction following PTCA or PTCR, thrombus formation during extracorporeal circulation and glomerulonephritis. Formulations contg. a compd. of this invention are given. In an in vitro test, 2-[2-(4-amidinophenylcarbamoyl)-6-methoxy-3-pyridyl]-5-[(1(S)-hydroxymethyl-2,2-dimethylpropyl)carbamoyl]benzoic acid methanesulfonic acid salt showed IC50 of 0.013 .mu.M against factor VIIa.

IT **239462-33-0P 239462-35-2P 239462-37-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and therapeutic effect of amidinophenylcarbamoylbiphenyl derivs. and heterocyclic analogs thereof)

IT **239462-34-1P 239462-36-3P 239462-38-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amidinophenylcarbamoylbiphenyl derivs. and heterocyclic analogs thereof as inhibitors of blood coagulation factor VIIa)

IT **239462-92-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of amidinophenylcarbamoylbiphenyl derivs. and heterocyclic analogs thereof as inhibitors of blood coagulation factor VIIa)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:222931 CAPLUS
DOCUMENT NUMBER: 130:237575
TITLE: Preparation of fused or nonfused benzene compounds as peroxisome proliferator-activated receptor (PPAR) controllers
INVENTOR(S): Tajima, Hisao; Nakayama, Yoshisuke; Fukushima, Daikichi
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9915520	A1	19990401	WO 1998-JP4116	19980911
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9890027	A1	19990412	AU 1998-90027	19980911
PRIORITY APPLN. INFO.:			JP 1997-255787	19970919
			WO 1998-JP4116	19980911

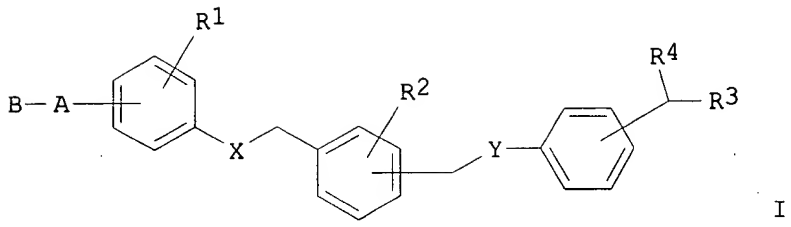
OTHER SOURCE(S): MARPAT 130:237575
GI For diagram(s), see printed CA Issue.
AB Claimed are compds. represented by general formula (I), nontoxic salts and acid addn. salts of the same, and hydrates of both (wherein R1, R2 = H, C1-8 alkyl, C1-4 alkoxy, halo, NO2, CF3; the benzene-fused ring E = 8- to 11-membered satd. or unsatd. bicyclic carbocyclic ring, 8- to 11-membered satd. or unsatd. bicyclic heterocyclic ring contg. 1-3 of heteroatoms selected form S, O, and N and optionally substituted with oxo or thioxo) and peroxisome proliferator-activated receptor (PPAR) controllers contg. the same as the active ingredient. The compds. I exhibit control effects against PPAR and are therefore useful as antihyperglycemic drugs, antihyperlipidemic drugs, HDL cholesterol-increasing agents, LDL cholesterol- and/or VLDL cholesterol-lowering agents, or risk factor-decreasing agents for diabetes and syndrome X or preventive and/or therapeutic agents for metabolic diseases such as diabetes, obesity, syndrome X, hypercholesterolemia and hyperlipoproteinemia, hyperlipemia, **arteriosclerosis**, circulatory diseases, polyphagy, and ischemic heart diseases. Thus, a mixt. of 2- and 3-cyano-1,4-benzodioxane isomers (II; Ra = cyano, Rb = H) and II (Ra = H, Rb = cyano) (prepn. given) 3.64, NaN3 3.4, and NH4Cl 2.8 g in 25 mL DMF was stirred at 110.degree. for 30 min to give 5-benzoyl-2- and 3-(1H-tetrazol-5-yl)-1,4-benzodioxane isomers II (Ra = 1H-tetrazol-5-yl, Rb = H) and II (Ra = H, Rb = 1H-tetrazol-5-yl)(III). III in vitro was 1.2-times more potent than troglitazone for activating transcription of luciferase gene in CV-1 cells expressing human PPAR .gamma.-receptor. III at 100 mg/kg/day p.o. for 14 consecutive days lowered blood lipid (free fatty acids) level from 797.+-.201 mg/dL (control) to 586.+-.111 mg/dL and blood triglyceride level from 79.+-.28 mg/dL (control) to 42.+-.24 mg/dL on day 15 in mice. A tablet and an ampule formulation contg. III were described.
IT **221281-00-1P 221281-01-2P 221281-02-3P**
221281-03-4P 221281-04-5P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of fused or nonfused benzene compds. as peroxisome proliferator-activated receptor controllers for treatment of

diseases)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:721665 CAPLUS
DOCUMENT NUMBER: 129:343328
TITLE: Preparation of new benzyl- and (phenylethyl)amine derivatives as medicaments
INVENTOR(S): Anderskewitz, Ralf; Schromm, Kurt; Renth, Ernst-Otto; Birke, Franz; Jennewein, Hans Michael; Meade, Christopher John Montague
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9849131	A1	19981105	WO 1998-EP2530	19980429
W: AU, BG, BR, BY, CA, CN, CZ, EE, HU, ID, IL, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, UZ, VN, YU				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CN 1204315	A	19990106	CN 1996-198959	19961211
DE 19718334	A1	19981105	DE 1997-19718334	19970430
ZA 9803523	A	19981030	ZA 1998-3523	19980428
AU 9877600	A1	19981124	AU 1998-77600	19980429
EP 980351	A1	20000223	EP 1998-925500	19980429
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001524966	T2	20011204	JP 1998-546609	19980429
US 6288277	B1	20010911	US 2000-423160	20000403

PRIORITY APPLN. INFO.: DE 1997-19718334 A 19970430
WO 1998-EP2530 W 19980429
OTHER SOURCE(S): MARPAT 129:343328
GI



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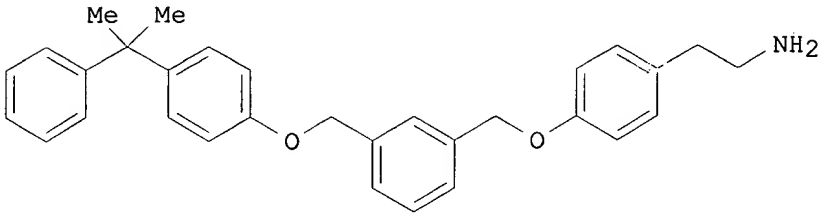


II

AB The title compds. [I; X, Y = O, NH, NMe2, CH2; R1, R2 = H, OH, F, Cl, Br, iodo, C1-6 alkyl, O(C1-6 alkyl), CF3; R3 = H, NH2, NHCOR5; R4 = H, CH2NH2, CH2NHCOR5; R5 = H, C1-6 alkyl, (un)substituted Ph, O(C1-6 alkyl); A = CR6R7, CO, SOx, O; R6 = H, C1-4 alkyl, CF3, etc.; R7 = H, C1-4 alkyl, etc.; B = C1-6 alkyl, Ph, naphthyl, thienyl, pyridyl, etc.; x = 0-2; with provisos] and their optical isomers, mixts. of enantiomers, racemates and salts with pharmaceutically acceptable acids, LTB4 antagonists useful for the therapy of arthritis, asthma, chronical lung diseases, , psoriasis, cystic fibrosis, Alzheimer's disease, etc., were prepd. For example, dissolving 1.15 g 4-(H2NCH2CH2)C6H4OH in 15 mL MeOH, adding 1.5 g NaOMe (30% soln. in MeOH), evapg. the mixt., adding the residue to a soln. of 2.93 g 3-[4-(2-phenylpropyl)phenoxymethyl]benzyl chloride in 25 mL MeCN, stirring the whole for 3 h at 60-70.degree., evapg. the solvents and treating the residue with alc. HCl gave 1 g II-HCl (m. 145.degree.). Approx. 34 I were prepd. and Ki values for approx. 32 I varying between 0.5 and 263 nM were given.

IT **215612-02-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of new benzyl- and (phenylethyl)amine derivs. as LTD4 antagonists)

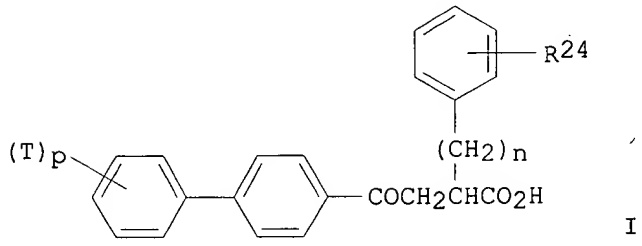
L8 ANSWER 17 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:590737 CAPLUS
DOCUMENT NUMBER: 129:230536
TITLE: Inhibition of matrix metalloproteases by substituted phenalkyl compounds
INVENTOR(S): Wolanin, Donald J.
PATENT ASSIGNEE(S): Bayer Corp., USA
SOURCE: U.S., 22 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5804581	A	19980908	US 1997-856696	19970515

OTHER SOURCE(S): MARPAT 129:230536

GI



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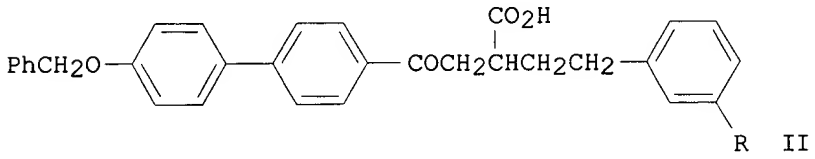


II

AB Matrix metalloprotease inhibiting compds., pharmaceutical compns. thereof and a method of disease treatment using such compds. are presented. The compds., i.e. 2-phenylalkyl-4-(1,1'-biphenyl-4-yl)-3-oxobutyric acid, of the invention have the generalized formula [I; T = halo, benzyloxy, C1-5 alkoxy; p = 1,2; n = an integer of 1-5; R24 = morpholinocarbonyl, N-(2-morpholinoethyl)carbamoyl, N-(3-phenylpropyl)carbamoyl, N-(2-phenylethyl)carbamoyl, N-(2-ethoxycarbonylethyl)carbamoyl, N-(ethoxycarbonylmethyl)carbamoyl, N-(2-carboxyethyl)carbamoyl, etc.]. These compds. are useful for inhibiting matrix metalloproteases and, therefore, combating conditions to which MMP's contribute, such as osteoarthritis, rheumatoid arthritis, septic arthritis, periodontal disease, corneal ulceration, proteinuria, aneurysmal aortic disease, dystrophobic epidermolysis, bullosa, conditions leading to inflammatory responses, osteopenias mediated by MMP activity, tempera mandibular joint disease, demyelating diseases of the nervous system, tumor metastasis or degenerative cartilage loss following traumatic joint injury, and coronary thrombosis from **atherosclerotic** plaque rupture. The present invention also provides pharmaceutical compns. and methods for treating such conditions. Palladium-mediated carbonylation of 4-(3-iodophenyl)butyric acid deriv. (II; R = iodo) by carbon monoxide and piperidine as the nucleophile in the presence of Pd(OAc)2 and 1,3-bis(diphenylphosphino)propane in DMSO gave the title compd. II (piperidine-1-carbonyl), which inhibited MMP-3, MMP-9, and MMP-2 with Ki of 12.5, 102, and 4.44 nM, resp.

IT **199674-85-6P 199674-87-8P**
RL: BAC (Biological activity or effector, except adverse); SPN

(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenylalkyl(biphenylyl)oxobutyric acid derivs. as inhibitors of matrix metalloproteases for treating matrix metalloproteases-assocd. diseases)

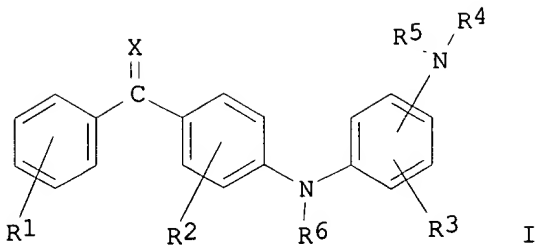
IT **212613-27-9P 212613-28-0P 212613-29-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of phenylalkyl(biphenylyl)oxobutyric acid derivs. as inhibitors of matrix metalloproteases for treating matrix metalloproteases-assocd. diseases)

L8 ANSWER 18 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:527309 CAPLUS
DOCUMENT NUMBER: 129:148822
TITLE: Preparation and formulation of aminobenzophenones as inhibitors of interleukin and TNF
INVENTOR(S): Ottosen, Erik Rytter; Rachlin, Schneur
PATENT ASSIGNEE(S): Leo Pharmaceutical Products Ltd. A/S (Lovens Kemiske Fabrik Produktionsaktie, Den.
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9832730	A1	19980730	WO 1998-DK8	19980108
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9854781	A1	19980818	AU 1998-54781	19980108
AU 733561	B2	20010517		
EP 966424	A1	19991229	EP 1998-900270	19980108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001511771	T2	20010814	JP 1998-531499	19980108
US 6313174	B1	20011106	US 1999-341923	19990721

PRIORITY APPLN. INFO.: GB 1997-1453 A 19970124
WO 1998-DK8 W 19980108

OTHER SOURCE(S): MARPAT 129:148822
GI



I

AB The title compds. I [R1 and R2 stand independently for one or more, similar or different substituents selected from the group consisting of hydrogen, halogen, hydroxy, mercapto, trifluoromethyl, amino, alkyl, alkoxy, alkylthio, alkylamino, or alkoxycarbonyl, the C-content of which can be from 1 to 5, cyano, carboxy, carbamoyl, Ph, or nitro; R3 stands for hydrogen, halogen, hydroxy, mercapto, trifluoromethyl, amino, alkyl, alkoxy, alkylthio, alkylamino, or alkoxycarbonyl, the C-content of which can be from 1 to 5, Ph, cyano, carboxy, or carbamoyl; R4, R5 and R6 stand independently for hydrogen, trifluoromethyl, alkyl, carbamoyl, alkoxycarbonyl, or alkyloxo, the C-content of which can be from 1 to 5; X stands for oxygen, NOH, NO-alkyl, dialkoxy, cyclic dialkoxy, dialkylthio, or cyclic dialkylthio, the C-content of which can be from 1 to 5] are prepd. The present compds. are of value in the human and veterinary practice as systemic and topical therapeutic agents for the treatment and prophylaxis of asthma, allergy, rheumatoid arthritis, spondyloarthritis, gout, **atherosclerosis**, chronic inflammatory bowel disease, proliferative and inflammatory skin disorders, such as psoriasis, and atopic dermatitis. In an in vitro test using human polymorphonuclear granulocytes, 4-(2-aminophenylamino)-2-chloro-2'-methylbenzophenone in vitro showed IC50 of 13 nM and 7.1 nM against the prodn. of Il-1.beta. and TNF-.alpha., resp. In the above test, 4-(2-aminophenylamino)benzophenone (II) in vitro showed IC50 of 250 nM and 790 nM against the prodn. of Il-1.beta. and TNF-.alpha., resp. In the 12-O-tetradecanoylphorbol-13-acetate induced murine skin inflammation model, II showed activity equal to hydrocortisone.

IT **210966-14-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminobenzophenones as inhibitors of interleukin and TNF)

IT **210967-30-9**
RL: RCT (Reactant)
(prepn. of aminobenzophenones as inhibitors of interleukin and TNF)

IT **210966-87-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of aminobenzophenones as inhibitors of interleukin and TNF)

L8 ANSWER 19 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:197402 CAPLUS
DOCUMENT NUMBER: 128:275085

TITLE: Combination therapy for reducing the risks associated with cardiovascular disease
INVENTOR(S): Gould, Robert J.; Nichtberger, Steven A.; Rhymer, Patricia A.; Olofsson, Lars
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Gould, Robert J.; Nichtberger, Steven A.; Rhymer, Patricia A.; Olofsson, Lars
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9811896	A1	19980326	WO 1997-US16388	19970915
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9743508	A1	19980414	AU 1997-43508	19970915
AU 723315	B2	20000824		
EP 946178	A1	19991006	EP 1997-941644	19970915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001500875	T2	20010123	JP 1998-514815	19970915
US 6251852	B1	20010626	US 1997-929595	19970915
US 6235706	B1	20010522	US 1999-147858	19990527
US 2001036913	A1	20011101	US 2001-764511	20010118

PRIORITY APPLN. INFO.:

US 1996-26581P	P	19960918
GB 1996-21970	A	19961022
WO 1997-US16388	W	19970915
US 1999-147858	A3	19990527

AB The instant invention involves a combination therapy and pharmaceutical compns. comprised of a therapeutically effective amt. of a cholesterol reducing agent such as an HMG-CoA reductase inhibitor in combination with a platelet aggregation inhibitor which is useful for inhibiting platelet aggregation, for inhibiting the formation of thrombotic occlusions, and for treating, preventing and reducing the risk of occurrence of cardiovascular and cerebrovascular events and related vaso-occlusive disorders. Tablets were prepd. contg. simvastatin and a glycoprotein IIb/IIIa receptor antagonist.

IT **148396-36-5**, Fradafiban
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy for reducing the risks assocd. with cardiovascular disease)

L8 ANSWER 20 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:90330 CAPLUS
DOCUMENT NUMBER: 128:225922
TITLE: Antagonism of the GPIIb/IIIa receptor with the nonpeptidic molecule BIBU52: inhibition of platelet aggregation in vitro and antithrombotic

efficacy in vivo

AUTHOR(S): Guth, Brian D.; Seewaldt-Becker, Elke; Himmelsbach, Frank; Weisenberger, Hans; Muller, Thomas H.

CORPORATE SOURCE: Dep. Biological and Chemical Res., Dr. Karl Thomae GmbH, Biberach an der Riss, Germany

SOURCE: J. Cardiovasc. Pharmacol. (1997), 30(2), 261-272
CODEN: JCPCDT; ISSN: 0160-2446

PUBLISHER: Lippincott-Raven Publishers

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The glycoprotein (GP) IIb/IIIa (the .alpha.IIb.beta.3 integrin) found on platelets binds fibrinogen or von Willebrand factor when eh platelet is activated, thereby mediating the aggregation of platelets. Blockade of the GPIIb/IIIa should prevent platelet aggregation independent of the substance or substances responsible for activating the platelets. This comprehensive inhibition of platelet aggregation is though to be an effective therapeutic approach ti various clin. thromboembolic syndromes. This study investigated the platelet inhibition provided by blocking GPIIb/IIIa by using a new nonpeptidic mol. BIBU52, in both in vitro and in vivo models. BIBU52 competes with [125I]fibrinogen for binding sites on human platelets in a Ca2+ and pH-dependent manner with a 50% inhibitory concn. (IC50) of 35 .+-. 12 nM. BIBU52 inhibited the aggregation of human platelets in platelet-rich plasma induced by collagen (1-2 .mu.g/mL), ADP (ADP; 2.5 .mu.M), and a thrombin receptor-activating peptide (TRAP; SFLLRNPNDKYEPFNH2; 25 .mu.M) with IC50 values of 82, 83, and 200 nM, resp. The inhibition of platelet aggregation by BIBU52 was found to be highly species dependent. BIBU52 inhibited aggregation in plasma from rhesus and marmoset monkeys with an IC50 of 150 nM but was totally ineffective in rat plasma. The selectivity of BIBU52 for inhibiting GPIIb/IIIa in comparison with other adhesion mols. was investigated in a human endothelial cell adhesion assay. The adhesion of human cells to matrixes of vitronectin, fibronectin, collagen I, or laminin was not affected by concns. as high as 100 .mu.M BIBU52; thus BIBU52 demonstrates a high selectivity for the human GPIIb/IIIa. The antithrombotic effect of BIBU52 in vivo was investigated in three animal models of recurrent **arterial** thrombus formation. In the guinea pig aorta, BIBU52 inhibited thrombus fromation dose dependently, with lack of thrombus formation for 1 h after a bolus dose of 1.0 mg/kg i.v.. Both acetylsalicylic acid and dazoxiben were less effective in this model. In pigs with recurrent thrombus formation in the carotid **artery**, 1.0 mg/kg i.v. also inhibited thrombus formation. Heparin was not effective in the pig, and acetylsalicylic acid was only partially effective. In the pig, the dose of 1.0 mg/kg i.v. BIBU52 also was assocd. with a 70% inhibition of collagen-induced platelet aggregation ex vivo but with only a transient prolongation of sublingual bleeding time to a max. of 2.5-fold and without other hemodynamic effects. In the marmoset monkey, a dose of 10 .mu.g/kg i.v. could abolish recurrent **arterial** thrombosis. Hemodynamic effects of BIBU52 in anesthetized pigs were not detected in doses .ltoreq.10 mg/kg. These data demonstrate that BIBU52 is a potent and selective antagonist of the human GPIIb/IIIa receptor and capable of substantial inhibition of platelet aggregation in vitro and ex vivo as well as inhibition of **arterial** thrombus formation in vivo in animal models of thrombosis.

IT **158516-54-2**, BIBU52
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antithrombotic activity of the GPIIb/IIIa receptor antagonist BIBU52)

L8 ANSWER 21 OF 29 CAPLUS COPYRIGHT 2002 ACS

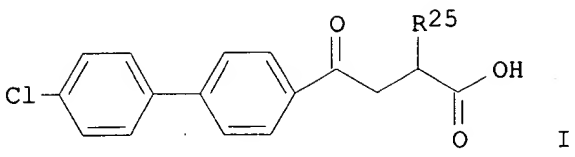
ACCESSION NUMBER: 1998:24951 CAPLUS
DOCUMENT NUMBER: 128:136312
TITLE: Continued thromboxane A2 formation despite administration of a platelet glycoprotein IIb/IIIa antagonist in patients undergoing coronary angioplasty
AUTHOR(S): Byrne, Anthony; Moran, Niamh; Maher, Maureen; Walsh, Noleen; Crean, Peter; Fitzgerald, Desmond J.
CORPORATE SOURCE: Centre for Cardiovascular Science, Royal College of Surgeons in Ireland and Beaumont Hospital, Dublin, 2, Ire.
SOURCE: Arterioscler., Thromb., Vasc. Biol. (1997), 17(11), 3224-3229
CODEN: ATVBFA; ISSN: 1079-5642
PUBLISHER: American Heart Association
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Exptl. data suggest that formation of thromboxane A2 may be suppressed during administration of a glycoprotein IIb/IIIa antagonist. We detd. the dose of one such compd., fradafiban, required to provide >80% occupancy of the platelet glycoprotein IIb/IIIa and examd. its effects on thromboxane A2 formation in patients undergoing PTCA. The dose response to fradafiban and addnl. effects of aspirin were explored initially in patients with stable coronary **artery** disease. Fradafiban induced a dose-dependent inhibition of platelet aggregation that correlated with fibrinogen receptor occupancy and plasma drug concn. Addn. of aspirin 300 mg had no effect on these parameters. At the highest dose, mean fibrinogen receptor occupancy was 89.7.+-.1.2% (n=3) at 4 h and platelet aggregation had decreased by 93.4.+-.2.7%. Eighteen patients undergoing coronary angioplasty were randomized to receive either aspirin 330 mg or that dose of fradafiban producing >80% fibrinogen receptor occupancy. Platelet aggregation was suppressed throughout the infusion of fradafiban to a greater extent than with aspirin. However, there was a marked increase in urinary excretion of 11-dehydrothromboxane B2 in patients treated with fradafiban: from 1973.+-.889 to a peak of 9760.+-.3509 pg/mg creatinine (P=.0046). Despite this evidence of continued platelet activation in vivo, there were no cases of coronary thrombosis. In conclusion, fradafiban suppresses platelet aggregation and may be a useful alternative to aspirin in the prevention of thrombotic events in patients undergoing PTCA. However, there is continued formation of thromboxane A2, which may continue to exert its effects as a potent vasoconstrictor and vascular smooth muscle mitogen.
IT **148396-36-5**, Fradafiban
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(platelet glycoprotein IIb/IIIa antagonist fradafiban suppresses platelet aggregation but not thromboxane A2 formation in humans undergoing coronary angioplasty)

L8 ANSWER 22 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:752921 CAPLUS
DOCUMENT NUMBER: 128:34585
TITLE: Inhibition of matrix metalloproteases by substituted phenethyl compounds
INVENTOR(S): Wolanin, Donald J.
PATENT ASSIGNEE(S): Bayer Corporation, USA; Wolanin, Donald J.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9743247	A1	19971120	WO 1997-US7919	19970512
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9704029	A	19980219	ZA 1997-4029	19970509
AU 9729385	A1	19971205	AU 1997-29385	19970512
AU 727899	B2	20010104		
EP 907632	A1	19990414	EP 1997-923621	19970512
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9709084	A	19990803	BR 1997-9084	19970512
CN 1225624	A	19990811	CN 1997-196457	19970512
JP 11510517	T2	19990914	JP 1997-540979	19970512

PRIORITY APPLN. INFO.: US 1996-645026 A2 19960515
WO 1997-US7919 W 19970512

OTHER SOURCE(S): MARPAT 128:34585
GI



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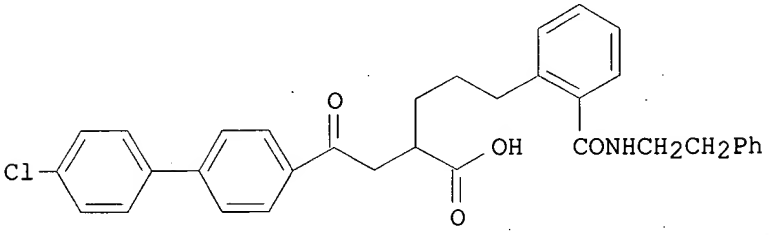


II

AB Matrix metalloprotease inhibiting compds., pharmaceutical compns. thereof and a method of disease treatment using such compds. are presented. The compds. of the invention have generalized formula I wherein R25 is a substituted phenylethyl moiety. These compds. are useful for inhibiting matrix metalloproteases and, therefore, combating conditions to which MMP's contribute, such as osteoarthritis, rheumatoid arthritis, septic arthritis, periodontal disease, corneal ulceration, proteinuria, aneurysmal aortic disease, dystrophobic epidermolysis bullosa, conditions leading to inflammatory responses, osteopenias mediated by MMP activity, tempero mandibular joint disease, demyelating diseases of the nervous system, tumor metastasis or degenerative cartilage loss following traumatic joint injury, and coronary thrombosis from **atherosclerotic** plaque rupture. The present invention also provides pharmaceutical compns. and methods for treating such conditions. The title compd. II in vitro showed the Ki value of 127 nM against MMP-3.

IT **199674-85-6P 199674-87-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibition of matrix metalloproteases by substituted phenethyl compds.)

IT **179545-45-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(inhibition of matrix metalloproteases by substituted phenethyl compds.)

L8 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:69419 CAPLUS
DOCUMENT NUMBER: 126:89702
TITLE: Preparation of sulfate esters of aminosugar derivatives for the inhibition of the migration and proliferation of vascular smooth muscle cells.
INVENTOR(S): Chucholowski, Alexander; Pech, Michael;

Fingerle, Juergen; Rouge, Marianne; Iberg, Niggi; Schmid, Gerard; Maerki, Hans Peter; Tschopp, Thomas; Mueller, Rita; Wessel, Hans Peter
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: Eur. Pat. Appl., 59 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 741128	A2	19961106	EP 1996-106471	19960424
EP 741128	A3	19970326		
EP 741128	B1	20010620		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2174583	AA	19961106	CA 1996-2174583	19960419
JP 08301839	A2	19961119	JP 1996-100874	19960423
JP 2881752	B2	19990412		
AT 202339	E	20010715	AT 1996-106471	19960424
ES 2160190	T3	20011101	ES 1996-106471	19960424
US 5830920	A	19981103	US 1996-639986	19960426
CN 1150589	A	19970528	CN 1996-100231	19960430

PRIORITY APPLN. INFO.: CH 1995-1310 A 19950505

AB (A1X1)m1(Y1X2)n1(Q1X3)m2(Y2X4)n2(Z1X5)m3(Y3X6)n3D(Y6X12)n6(Z2X11)m6(Y5X10)n5(Q2X9)m5(Y4X8)n4(A2X7)m4, (A1X1)m1(Y1X2)n1(Q1X3)m2(Y2X4)n2(Z1X5)m3(Y3X6)n3W[(Y9X18)n9(Z3X17)m9(Y8X16)n8(Q3X15)m8(Y7X14)n7(A3X13)m7][(Y6X12)n6(Z2X11)m6(Y5X10)n5(Q2X9)m5(Y4X8)n4(A2X7)m4] n1-n9, m1-m9 = 0, 1; X1-X18 = O, CONR1, NR1; [R1 = H, alkyl; W = Ph or s-triazine residue; A1-A3 = sugar or sugar acid residue, tris(hydroxymethyl)methyl residue; Y1-Y9 = arom. ring systems; D = divalent sugar or sugar acid residue; Q1-Q3, Z1-Z3 = D, didesoxyglucopyranoside residue; .gtoreq.1 of A1-A3, D, Q1-Q3, Z1-Z3 is sulfated], were prepd. Thus, 2,3:4,5-di-O-isopropylidene-1,6-bis-O-(4-methylphenylsulfonyl)galactitol, Me (E)-3-(4-hydroxyphenyl)acrylate, and K2CO3 were stirred 18 h at 130.degree. to give 2,3:4,5-di-O-isopropylidene-1,6-bis-O-[(E)-4-(2-methoxycarbonylvinyl)phenyl]galactitol, which was converted to 1,6-bis-O-[4-[2-(2,3,4,5,6-penta-O-sulfo-D-glucit-1-ylcarbamoyl)ethyl]phenyl]-2,3,4,5-tetra-O-sulfogalactitol tetradecylsodium salt. The latter at 3 mg/kg/h i.v. in rats with damaged left carotids gave 47% inhibition of tissue proliferation.

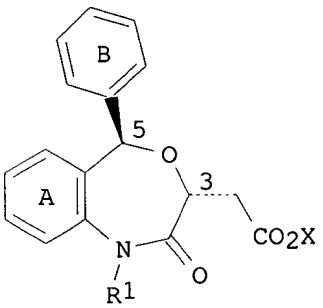
IT **185511-07-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfate esters of aminosugar derivs. for the inhibition of the migration and proliferation of vascular smooth muscle cells)

IT **185511-79-9P 185511-80-2P 185511-83-5P 185511-97-1P 185514-21-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of sulfate esters of aminosugar derivs. for the inhibition of the migration and proliferation of vascular smooth muscle cells)

L8 ANSWER 24 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:994196 CAPLUS
DOCUMENT NUMBER: 124:55994
TITLE: Optically active 4,1-benzoxazepine derivatives useful as squalene synthase inhibitors
INVENTOR(S): Yukimasa, Hidefumi; Tozawa, Ryuichi; Kori, Masakuni; Kitano, Kazuaki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9521834	A1	19950817	WO 1995-JP148	19950206
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9515898	A1	19950829	AU 1995-15898	19950206
JP 07267939	A2	19951017	JP 1995-18972	19950207
BR 9501469	A	19970819	BR 1995-1469	19950406
PRIORITY APPLN. INFO.:			JP 1994-15531	19940209
			WO 1995-JP148	19950206

OTHER SOURCE(S): MARPAT 124:55994
GI



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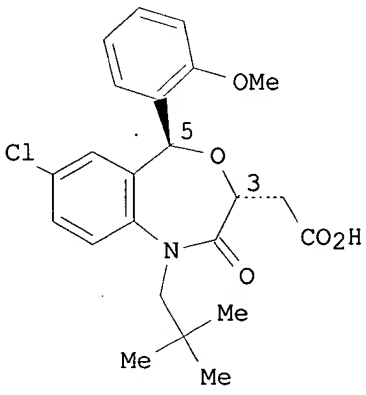


II

AB Optically active 4,1-benzoxazepin-2-one derivs. I with (3R-trans)-configuration are disclosed [wherein R1 = alkyl; X = H or metal ion; ring A is substituted with halo; ring B is substituted with alkoxy]. I are useful for the prophylaxis or treatment of hypercholesteremia or coronary sclerosis in mammals. For example racemic trans-II was amidated with H-Ala-OBu-tert.HCl, and the resultant diastereomeric amides were sepd. by chromatog.,

deprotected, and hydrolyzed in acid and base, to give both the desired isomer (3R,5S)-II (A) and its enantiomer (3S,5R)-II (B). In an assay for inhibition of human hepatic squalene synthase in vitro, isomer A had IC50 of 0.011 .mu.M, vs. 0.020 .mu.M for its 2-chlorophenyl analog [known from EP 567026]. In a rat enzyme system, the IC50 of isomer A was 0.026 .mu.M, whereas isomer B only gave 43% inhibition at 10-5 M.

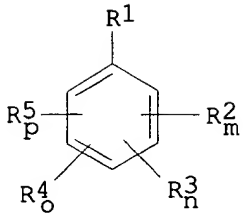
IT **171768-66-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (intermediate; prepn. of optically active 4,1-benzoxazepine derivs. as squalene synthase inhibitors)

L8 ANSWER 25 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:994147 CAPLUS
DOCUMENT NUMBER: 124:55567
TITLE: Preparation of substituted benzene-derivative endothelin inhibitors
INVENTOR(S): Astles, Peter Charles; Harper, Mark Francis; Harris, Neil Victor; McLay, Ian McFarlane; Walsh, Roger John Aitchison; Lewis, Richard Alan; Smith, Christopher; Porter, Barry; McCarthy, Clive
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Ltd., UK
SOURCE: PCT Int. Appl., 197 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9513262	A1	19950518	WO 1994-GB2499	19941114
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2176363	AA	19950518	CA 1994-2176363	19941114
AU 9481498	A1	19950529	AU 1994-81498	19941114
ZA 9409035	A	19960514	ZA 1994-9035	19941114
EP 728128	A1	19960828	EP 1995-900842	19941114
EP 728128	B1	19980916		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09505043	T2	19970520	JP 1994-513704	19941114
AT 171158	E	19981015	AT 1995-900842	19941114
ES 2123941	T3	19990116	ES 1995-900842	19941114
US 6211234	B1	20010403	US 1997-640922	19970627

PRIORITY APPLN. INFO.:	GB 1993-23382	A	19931112
	GB 1994-3363	A	19940222
	GB 1994-10750	A	19940527
	WO 1994-GB2499	W	19941114

OTHER SOURCE(S): MARPAT 124:55567
GI



I

AB The title compds. [I; R1 = H, (un)substituted hydroxyalkyl, carboxyalkyl, CN, NO2, (un)substituted alkoxy, etc.; R2 = arylalkoxy, hetroarylalkoxy, arylalkylthio, etc.; R3 = HO, alkoxy, aryloxy, etc.; R4 = (un)substituted alkyl or alkenyl; R5 = alkyl, alkenyl, halogen; m-p = 0, 1], useful as endothelin inhibitors (no data) for the treatment of diseases modulated by inhibiting endothelin (no data), are prepd. Thus, Me 2-benzyloxy-4-(4-chlorobenzyloxyl)benzoate was sapond., producing 2-benzyloxy-4-(4-chlorobenzyloxy)benzoic acid, m.p. 150-152.degree., in 44% yield.

IT **170282-55-0P 170282-56-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of substituted benzene endothelin inhibitors)

IT **170281-27-3P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted benzene endothelin inhibitors)

L8 ANSWER 26 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:580486 CAPLUS
DOCUMENT NUMBER: 122:314587
TITLE: Preparation of thiazepine hypolipidemic and **antiatherosclerotic** compounds
INVENTOR(S): Brieaddy, Lawrence Edward; Hodgson, Gordon Lewis, Jr.
PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9418184	A1	19940818	WO 1994-GB314	19940215
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9401003	A	19950814	ZA 1994-1003	19940214
IL 108633	A1	19980715	IL 1994-108633	19940214
CA 2156183	AA	19940818	CA 1994-2156183	19940215
AU 9460088	A1	19940829	AU 1994-60088	19940215
EP 683774	A1	19951129	EP 1994-906338	19940215

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE

HU 71610	A2	19960129	HU 1995-1818	19940215
JP 08506576	T2	19960716	JP 1994-517847	19940215
JP 2886341	B2	19990426		
US 5723458	A	19980303	US 1995-501132	19950815

PRIORITY APPLN. INFO.: GB 1993-3013 19930215
GB 1993-15155 19930722
WO 1994-GB314 19940215

OTHER SOURCE(S): MARPAT 122:314587

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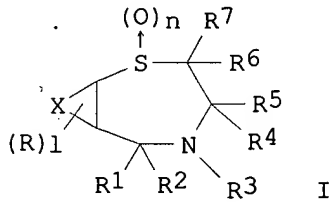


I

AB The title compds. [I; R = halogen, CN, OH, NO2, (un)substituted alkyl, (un)substituted alkoxy, aryl, heteroaryl aryloxy, etc.; R1, R6, R7 = H, C1-6 alkyl; R2 = H, (un)substituted alkyl, alkoxy, pyrryl, thienyl, etc.; R3 = H, OH, C1-6 alkyl, alkoxy, acyl; R4, R5 = (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, etc.; X = arom. or nonarom. mono- or bicyclic ring; l = 0-4; n = 0-2], useful in reducing bile acid uptake as hypolipidemics and **antiatherosclerotics**, are prepd. and I-contg. formulations presented. Thus, (.+-.)-trans-1-(3-ethyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-1,4-benzothiazepin-3-yl)-4,4,4-trifluoro-(2S)-2-butanol-S,S-dioxide, m.p. 168-170.degree., which was prepd. in 4 steps from 2-(2-phenyl-1,3-dioxolan-2-yl)-4-methoxythiophenol, demonstrated 72% inhibition of bile acid uptake at 1.mu.M.

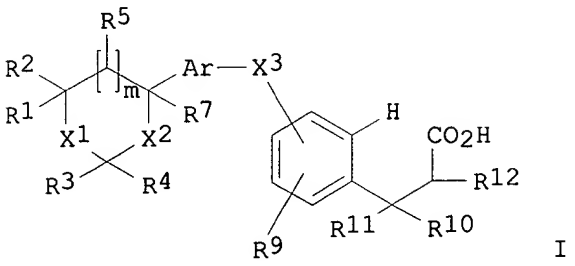
IT **163445-45-2P 163445-46-3P 163445-47-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of thiazepine bile acid uptake-inhibiting hypolipidemics and **antiatherosclerotics**)

L8 ANSWER 27 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:229456 CAPLUS
DOCUMENT NUMBER: 123:198620
TITLE: Heteroaryl cinnamic acids as inhibitors of leukotriene biosynthesis
INVENTOR(S): Fortin, Rejean; Girard, Yves; Grimm, Erich; Hutchinson, John; Scheigetz, John
PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Can.
SOURCE: U.S., 28 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 5360815	A	19941101	US 1993-81506	19930623
CA 2125830	AA	19941224	CA 1994-2125830	19940614

PRIORITY APPLN. INFO.: US 1993-81506 19930623
OTHER SOURCE(S): MARPAT 123:198620
GI



I

AB Compds. having the formula I wherein: R1 is H, OH, lower alkyl, or lower alkoxy; R2 is H, lower alkyl or together with R1 forms a double bonded oxygen; R3 is H, lower alkyl, hydroxy lower alkyl, or lower alkoxy lower alkyl; or R1 is joined to R3 to form a carbon bridge of 2 or 3 carbon atoms, or a mono-oxa carbon bridge of 1 or 2 carbon atoms, said bridge optionally containing a double bond; R4 is H or lower alkyl; R5 is H, OH, lower alkyl, or lower alkoxy; R6 is H or lower alkyl, or two R6 groups attached to the same carbon may form a saturated ring of 3 to 8 members; R7 is H, OH, lower alkyl, lower alkoxy, cycloalkyl lower alkoxy, lower alkylthio, or lower alkylcarbonyloxy; R8, R9, and R13 is each independently H, halogen, lower alkyl, hydroxy, lower alkoxy, lower alkylthio, CF3, CN, or COR14 ; R10 is, e.g., H, lower alkyl, or aryl-(R13)2, wherein aryl is a 5-membered aromatic ring wherein one carbon atom is replaced by O or S and 0-3 carbon atoms are replaced by N; R11, R12 are each, e.g., H, lower alkyl; R14 = H, lower alkyl; X1 = O, S, SO, SO2, CH2; X2 = O, S, CHR6; X3 = e.g., O(CR6)2; Ar = phenylene-R82; m = 1, n = 1, 2; or pharmaceutically acceptable salts are inhibitors of leukotriene biosynthesis (no data). These compds. are useful as anti-asthmatic, anti-allergic, anti-inflammatory, and cytoprotective agents. They are also useful in treating angina, cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, uveitis, and allograft rejection and in preventing the formation of **atherosclerotic** plaques. Pharmaceutical formulations were given. Thus, e.g., reaction of 7-hydroxycoumarin with 3-[4-(4-methoxy)tetrahydropyranyl]benzyl bromide afforded 7-[3-[4-(4-methoxy)tetrahydropyranyl]benzyloxy]coumarin; sapon. of the lactone afforded 3-{4-[3-[4-(4-methoxy)tetrahydropyranyl]benzyloxy]-2-hydroxyphenyl}propenoic acid disodium salt.

IT **167841-12-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(heteroaryl cinnamic acids as inhibitors of leukotriene biosynthesis)

L8 ANSWER 28 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:298250 CAPLUS

DOCUMENT NUMBER: 120:298250
TITLE: Preparation of dihydroxybenzylamine derivatives as drugs.
INVENTOR(S): Boiziau, Janine; Chen, Huixiong; Garbay, Christiane; Le Pecq, Jean Bernard; Parker, Fabienne
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer S.A., Fr.; Institut National de la Sante et de la Recherche Medicale
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9323364	A1	19931125	WO 1993-FR468	19930514
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2691145	A1	19931119	FR 1992-5980	19920518
AU 9340756	A1	19931213	AU 1993-40756	19930514
EP 641311	A1	19950308	EP 1993-910121	19930514
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07506585	T2	19950720	JP 1993-519944	19930514
ZA 9303426	A	19940802	ZA 1993-3426	19930517

PRIORITY APPLN. INFO.: FR 1992-5980 19920518
WO 1993-FR468 19930514

OTHER SOURCE(S): MARPAT 120:298250

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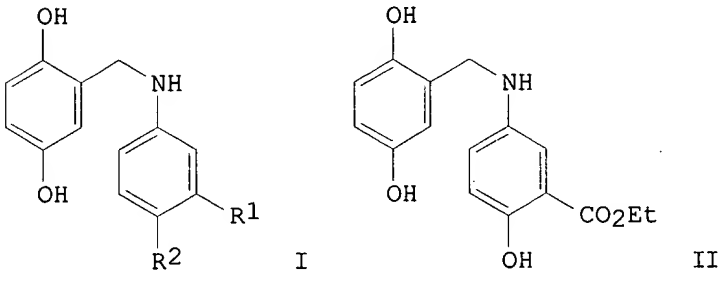


AB Title compds. [I; one of R1, R2 = H, halo, OH, alkoxy, alkylcarbonyloxy, arylcarbonyloxy, SH, alkylthio, amino, formylamino, alkylcarbonylamino, or arylcarbonylamino; the other = alkoxy, alkoxymethyl, acyl, arylcarbonyl, alkyloxycarbonyl, aryloxycarbonyl, alkenyloxycarbonyl, (N-substituted) carbamoyl or thiocarbamoyl], were prepd. I have outstanding tumor prevention activity. Thus, Et 5-aminosalicylate hydrochloride, 2,5-dihydroxybenzaldehyde, and Et3N were stirred in MeOH at 60.degree. for 15 h to give 65% imine, which was hydrogenated over Pd/C to give 62% title compd. II. II inhibited tyrosine kinase in

vivo at 0.4 .mu.M. An injectable formulation contg. II is given.

IT **154737-28-7P 154737-31-2P 154737-32-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for dihydroxybenzylamine drug)

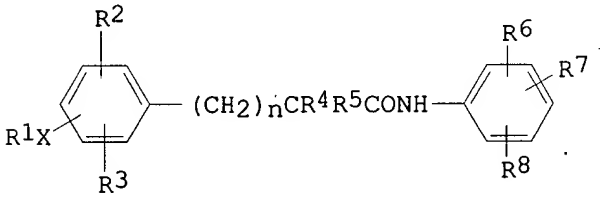
IT **154737-28-7**
RL: RCT (Reactant)
(reaction of, in prepn. of dihydroxybenzylamine drug)

L8 ANSWER 29 OF 29 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:134055 CAPLUS
DOCUMENT NUMBER: 120:134055
TITLE: Preparation of arylalkananilides as ACAT inhibitors
INVENTOR(S): Oe, Takanori; Sano, Mitsuharu; Ikezawa, Ryuhei; Izumi, Noriyoshi
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9315043	A1	19930805	WO 1993-JP35	19930113

W: CA, HU, JP, KR, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: JP 1992-34270 19920124
OTHER SOURCE(S): MARPAT 120:134055
GI



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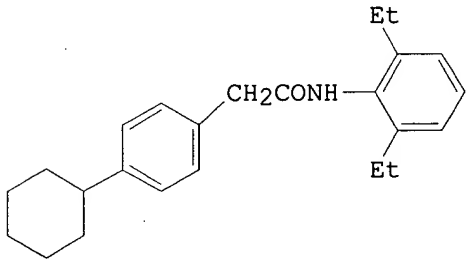


II

AB The title compds. I [X = S, O, CO, etc.; R1 = alkyl, alkenyl, alkynyl, etc.; R2,R3 = H, halo, cyano, alkyl, alkoxy, etc.; R4,R5 = H, alkyl, (substituted) cycloalkyl, etc.; R6 - R8 = H, halo, OH,

alkyl, etc.; n = 0-2], useful as ACAT inhibitors for the treatment of **arteriosclerosis**, were prepd. Treatment of 4-cyclohexylphenylacetic acid with SOCl2 in DMF, followed by reaction with 2,6-diethylaniline in the presence of N-methylmorpholine, gave title compd. II. N-(2,6-diisopropylphenyl)-4-octylphenylacetamide in vitro exhibited IC50 of 0.007 .mu.M against ACAT (acyl CoA:cholesterol O-acyltransferase). A formulation contg. I is given.

IT **152798-46-4P 152799-00-3P 152799-05-8P 152799-08-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as ACAT inhibitor)

E2 THROUGH E80 ASSIGNED

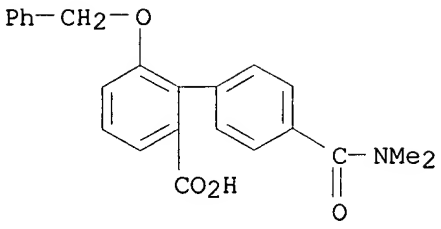
FILE 'REGISTRY' ENTERED AT 10:11:43 ON 21 MAR 2002

L9 78 SEA FILE=REGISTRY ABB=ON PLU=ON (148396-36-5/BI OR 149503-79-7/BI OR 154737-28-7/BI OR 199674-85-6/BI OR 199674-87-8/BI OR 212500-83-9/BI OR 212500-90-8/BI OR 212501-19-4/BI OR 212501-50-3/BI OR 212501-51-4/BI OR 212501-55-8/BI OR 212501-56-9/BI OR 152798-46-4/BI OR 152799-00-3/BI OR 152799-05-8/BI OR 152799-08-1/BI OR 154737-31-2/BI OR 154737-32-3/BI OR 158516-54-2/BI OR 163445-45-2/BI OR 163445-46-3/BI OR 163445-47-4/BI OR 167841-12-5/BI OR 170281-27-3/BI OR 170282-55-0/BI OR 170282-56-1/BI OR 171768-66-4/BI OR 179545-45-0/BI OR 185511-07-3/BI OR 185511-79-9/BI OR 185511-80-2/BI OR 185511-83-5/BI OR 185511-97-1/BI OR 185514-21-0/BI OR 210966-14-6/BI OR 210966-87-3/BI OR 210967-30-9/BI OR 212500-88-4/BI OR 212500-89-5/BI OR 212501-52-5/BI OR 212501-53-6/BI OR 212501-54-7/BI OR 212613-27-9/BI OR 212613-28-0/BI OR 212613-29-1/BI OR 215612-02-5/BI OR 221281-00-1/BI OR 221281-01-2/BI OR 221281-02-3/BI OR 221281-03-4/BI OR 221281-04-5/BI OR 239462-33-0/BI OR 239462-34-1/BI OR 239462-35-2/BI OR 239462-36-3/BI OR 239462-37-4/BI OR 239462-38-5/BI OR 239462-92-1/BI OR 247183-12-6/BI OR 247183-14-8/BI OR 260407-49-6/BI OR 260407-50-9/BI OR 260407-62-3/BI OR 260407-63-4/BI OR 260407-64-5/BI OR 261765-72-4/BI OR 326826-97-5/BI OR 327616-98-8/BI OR 327617-01-6/BI OR 327617-02-7/BI OR 327617-03-8/BI OR 373642-47-8/BI OR 373643-04-0/BI OR 373643-14-2/BI OR 395099-07-7/BI OR 395099-09-9/BI OR 400726-26-3/BI OR 400727-61-9/BI OR 400727-62-0/BI)

Random RNs/ Strs. displayed

=> d 1,4,6,8,9,12-15,20,22,29,34,35,38,46,50,51,53,55,56,61-63,65-67,70,73,76-78 ide can

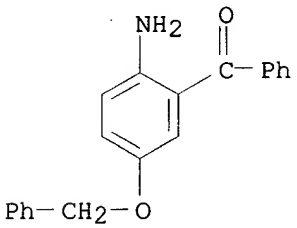
L9 ANSWER 1 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **400727-62-0** REGISTRY
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[(dimethylamino)carbonyl]-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C23 H21 N O4
SR CA
LC STN Files: CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L9 ANSWER 4 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **395099-09-9** REGISTRY
CN Methanone, [2-amino-5-(phenylmethoxy)phenyl]phenyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-Amino-5-(phenylmethoxy)phenyl phenyl ketone
FS 3D CONCORD
MF C20 H17 N O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

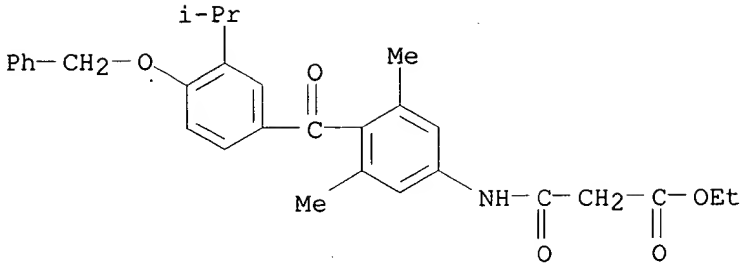


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:151163

L9 ANSWER 6 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **373643-14-2** REGISTRY
CN Propanoic acid, 3-[[3,5-dimethyl-4-[3-(1-methylethyl)-4-(phenylmethoxy)benzoyl]phenyl]amino]-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C30 H33 N O5
SR CA
LC STN Files: CA, CAPLUS

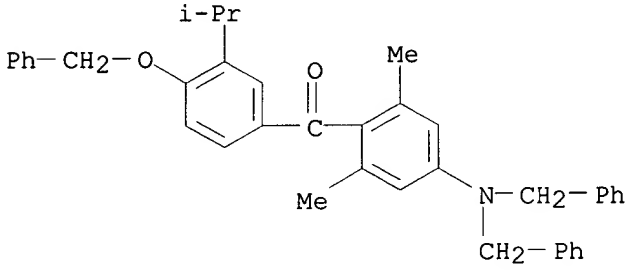


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 135:371762

L9 ANSWER 8 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **373642-47-8** REGISTRY
CN Methanone, [4-[bis(phenylmethyl)amino]-2,6-dimethylphenyl][3-(1-methylethyl)-4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C39 H39 N O2
SR CA
LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

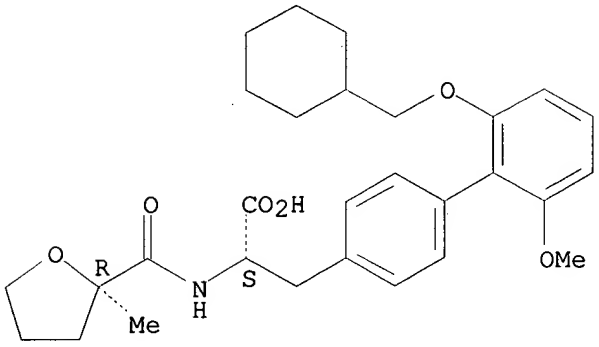
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 135:371762

L9 ANSWER 9 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **327617-03-8** REGISTRY
CN [1,1'-Biphenyl]-4-propanoic acid, 2'-(cyclohexylmethoxy)-6'-methoxy-.alpha.-[[[(2R)-tetrahydro-2-methyl-2-furanyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H37 N O6

SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



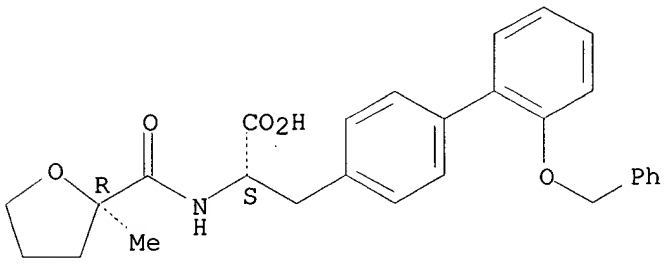
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:193737

L9 ANSWER 12 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **327616-98-8** REGISTRY
CN [1,1'-Biphenyl]-4-propanoic acid, 2'-(phenylmethoxy)-.alpha.-[[[(2R)-tetrahydro-2-methyl-2-furanyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H29 N O5
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

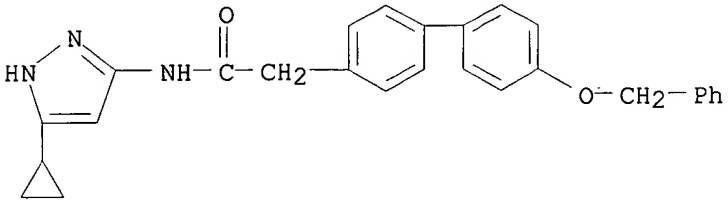


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:193737

L9 ANSWER 13 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **326826-97-5** REGISTRY
CN [1,1'-Biphenyl]-4-acetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-4'-(phenylmethoxy)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-[4'-(Benzyloxy)[1,1'-biphenyl]-4-yl]-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide
FS 3D CONCORD
MF C27 H25 N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



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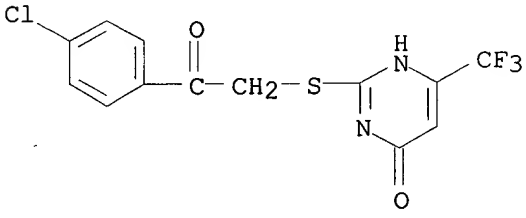
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:178552

L9 ANSWER 14 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **261765-72-4** REGISTRY
CN 4(1H)-Pyrimidinone, 2-[[2-(4-chlorophenyl)-2-oxoethyl]thio]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C13 H8 Cl F3 N2 O2 S
SR CA
LC STN Files: CA, CAPLUS, CHEMCATS



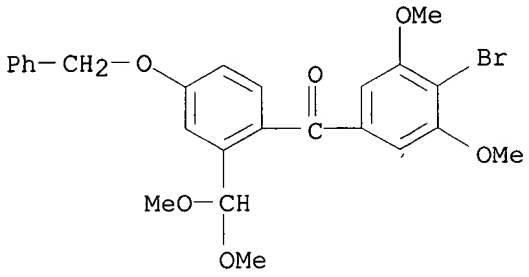
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:231970

REFERENCE 2: 132:231969

L9 ANSWER 15 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **260407-64-5** REGISTRY
CN Methanone, (4-bromo-3,5-dimethoxyphenyl)[2-(dimethoxymethyl)-4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H25 Br O6
SR CA
LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

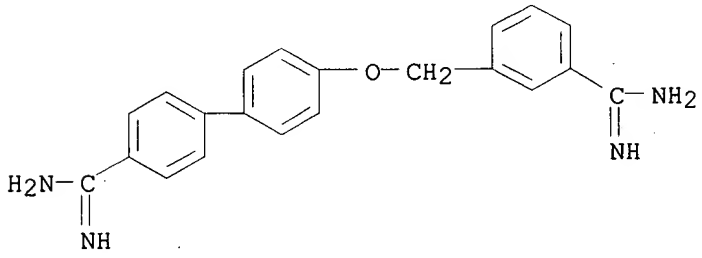
REFERENCE 1: 135:76771

REFERENCE 2: 132:207769

L9 ANSWER 20 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **247183-14-8** REGISTRY
CN [1,1'-Biphenyl]-4-carboximidamide, 4'-[[3-(aminoiminomethyl)phenyl]methoxy]-, diacetate (9CI) (CA INDEX NAME)
MF C21 H20 N4 O . 2 C2 H4 O2
SR CA
LC STN Files: CA, CAPLUS

CM 1

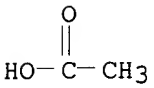
CRN 247183-13-7
CMF C21 H20 N4 O



Searcher : Shears 308-4994

CM 2

CRN 64-19-7
CMF C2 H4 O2



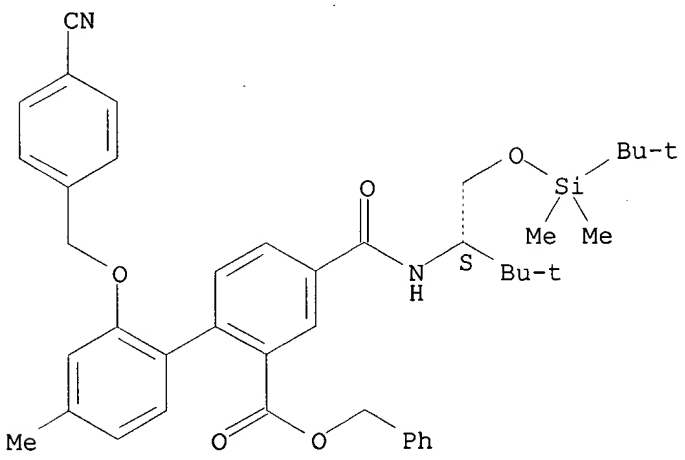
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:252156

REFERENCE 2: 131:310454

L9 ANSWER 22 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **239462-92-1** REGISTRY
CN [1,1'-Biphenyl]-2-carboxylic acid, 2'-[(4-cyanophenyl)methoxy]-4-[[[(1S)-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,2-dimethylpropyl]amino]carbonyl]-4'-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C42 H50 N2 O5 Si
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

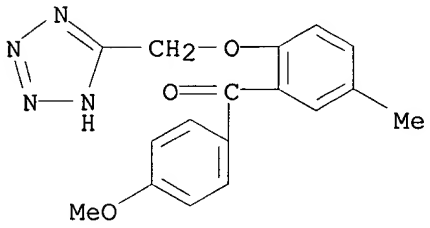


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 131:184864

L9 ANSWER 29 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **221281-04-5** REGISTRY
CN Methanone, (4-methoxyphenyl)[5-methyl-2-(1H-tetrazol-5-ylmethoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C17 H16 N4 O3
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

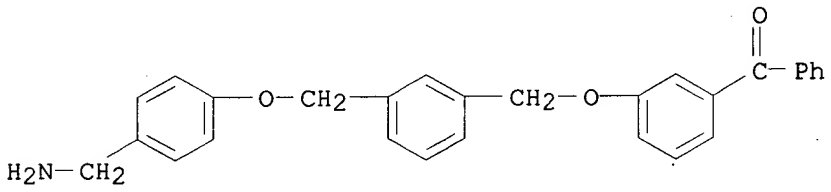


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:237575

L9 ANSWER 34 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **215612-02-5** REGISTRY
CN Methanone, [3-[[3-[[4-(aminomethyl)phenoxy]methyl]phenyl]methoxy]phenyl]phenyl-, hydrochloride (9CI) (CA INDEX NAME)
MF C28 H25 N O3 . Cl H
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

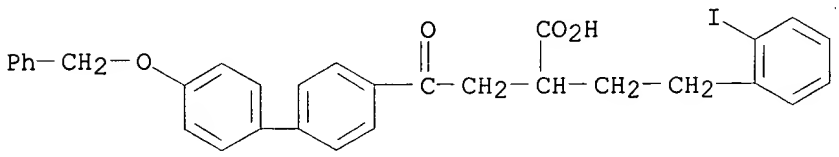


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:343328

L9 ANSWER 35 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **212613-29-1** REGISTRY

CN [1,1'-Biphenyl]-4-butanoic acid, .alpha.-[2-(2-iodophenyl)ethyl]-
.gamma.-oxo-4'-(phenylmethoxy)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C31 H27 I O4
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

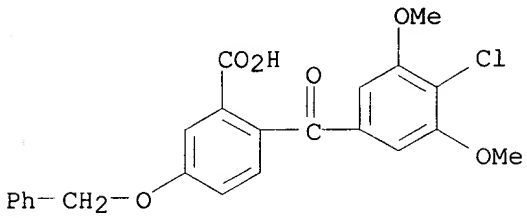


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:230536

L9 ANSWER 38 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **212501-56-9** REGISTRY
CN Benzoic acid, 2-(4-chloro-3,5-dimethoxybenzoyl)-5-(phenylmethoxy)-
(9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C23 H19 Cl O6
SR CA
LC STN Files: CA, CAPLUS



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3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

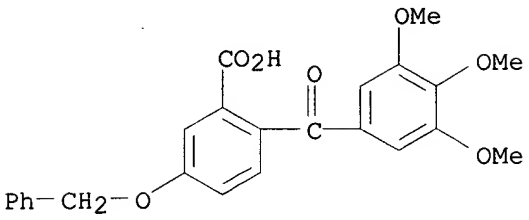
REFERENCE 1: 132:207769

REFERENCE 2: 132:194298

REFERENCE 3: 129:216521

L9 ANSWER 46 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **212500-90-8** REGISTRY
CN Benzoic acid, 5-(phenylmethoxy)-2-(3,4,5-trimethoxybenzoyl)- (9CI)

(CA INDEX NAME)
FS 3D CONCORD
MF C24 H22 O7
SR CA
LC STN Files: CA, CAPLUS



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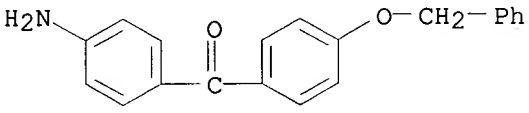
REFERENCE 2: 135:76771

REFERENCE 3: 132:207769

REFERENCE 4: 132:194298

REFERENCE 5: 129:216521

L9 ANSWER 50 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **210967-30-9** REGISTRY
CN Methanone, (4-aminophenyl)[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H17 N O2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



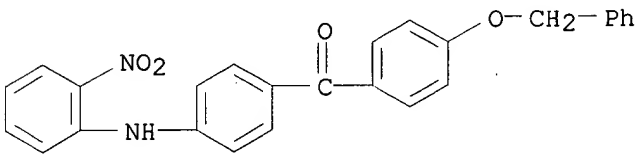
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:148822

L9 ANSWER 51 OF 78 REGISTRY COPYRIGHT 2002 ACS

RN **210966-87-3** REGISTRY
CN Methanone, [4-[(2-nitrophenyl)amino]phenyl][4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C26 H20 N2 O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



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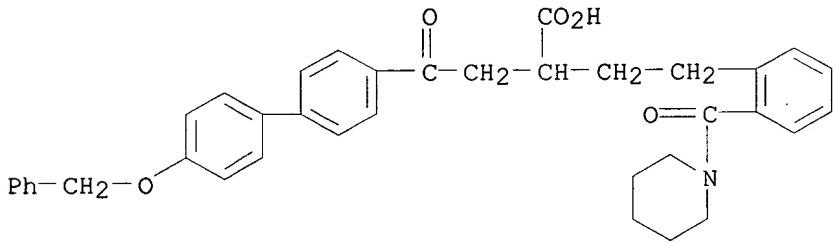
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:148822

L9 ANSWER 53 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **199674-87-8** REGISTRY
CN [1,1'-Biphenyl]-4-butanoic acid, .gamma.-oxo-4'-(phenylmethoxy)-.alpha.-[2-[2-(1-piperidinylcarbonyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C37 H37 N O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



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2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:230536

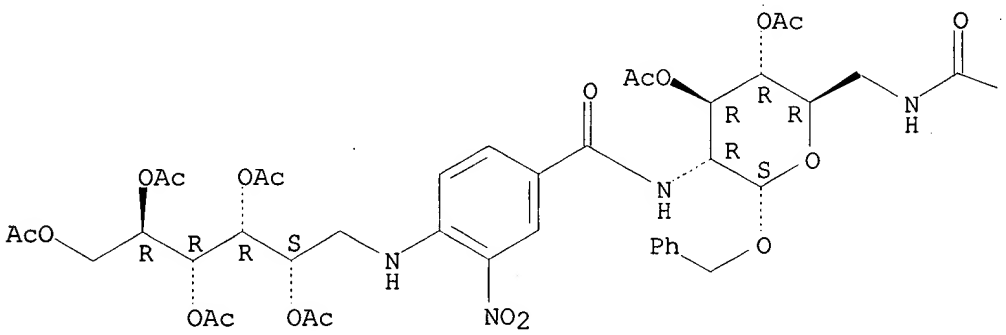
REFERENCE 2: 128:34585

L9 ANSWER 55 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **185514-21-0** REGISTRY

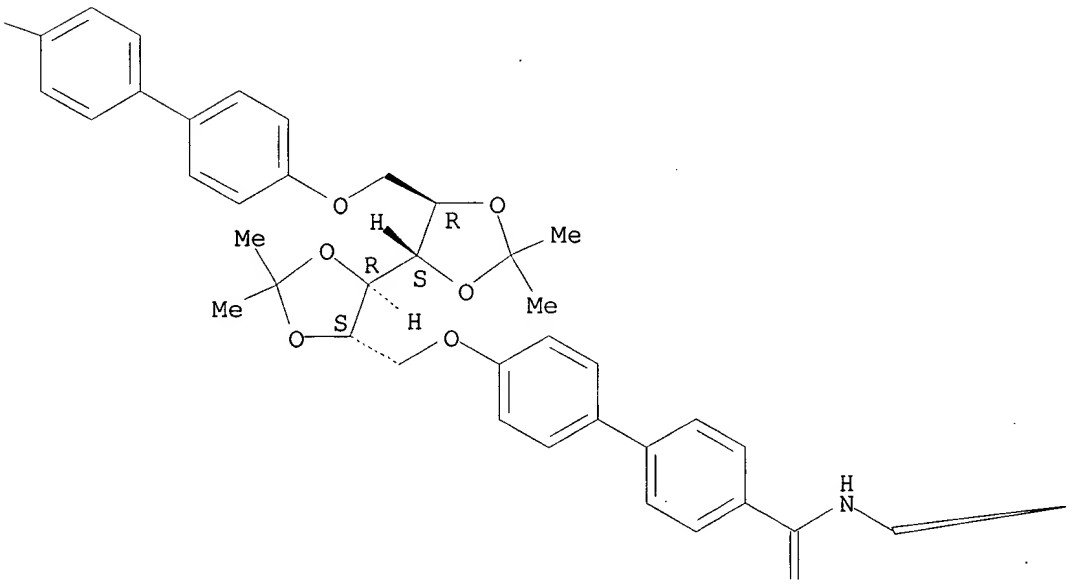
CN .alpha.-D-Glucopyranoside, 6,6'-[[2,3:4,5-bis-O-(1-methylethylidene)galactitol-1,6-di-O-yl]bis([1,1'-biphenyl]-4,4'-diylcarbonylimino)]bis[phenylmethyl 2,6-dideoxy-2-[[3-nitro-4-[(2,3,4,5,6-penta-O-acetyl-1-deoxy-D-glucitol-1-yl)amino]benzoyl]amino]-, 3,3',4,4'-tetraacetate (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C118 H134 N8 O46
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

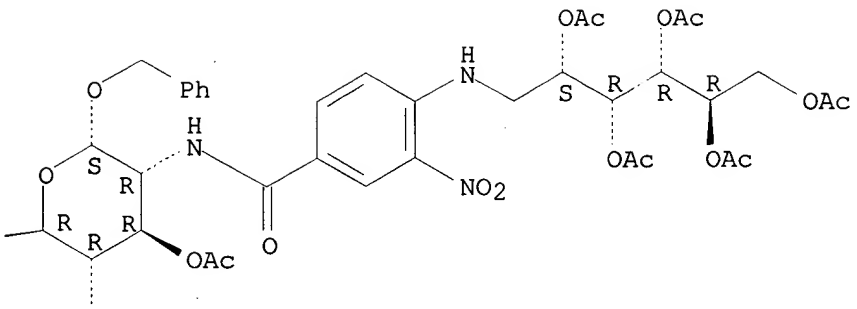
PAGE 1-A



PAGE 1-B



PAGE 1-C



PAGE 2-B

PAGE 2-C

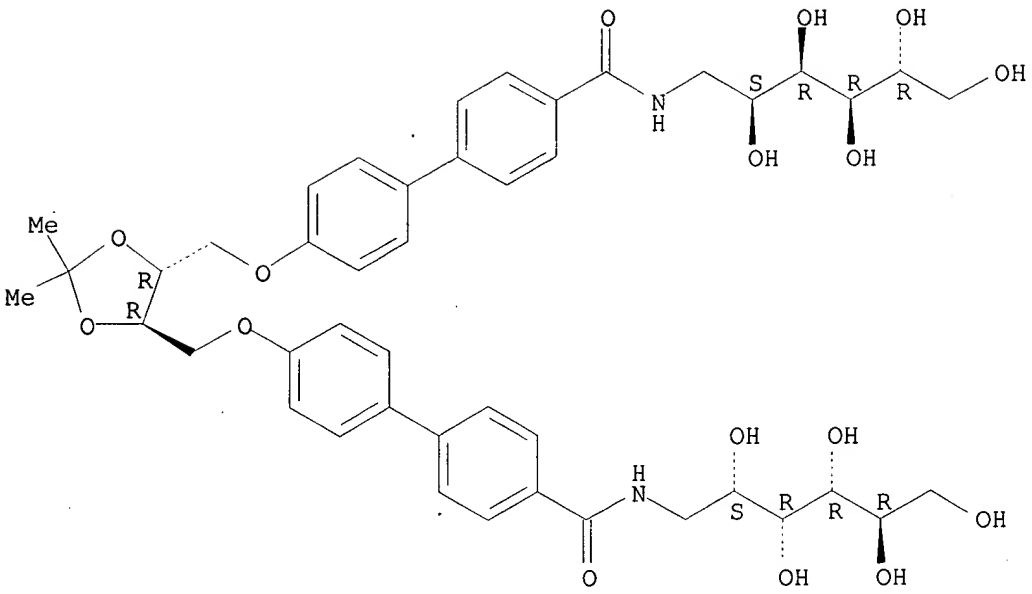
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:89702

L9 ANSWER 56 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **185511-97-1** REGISTRY
CN D-Glucitol, 1,1'-[[(4R,5R)-2,2-dimethyl-1,3-dioxolane-4,5-diyl]bis(methyleneoxy[1,1'-biphenyl]-4,4'-diylcarbonylimino)]bis[1-deoxy- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C45 H56 N2 O16
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

Searcher : Shears 308-4994

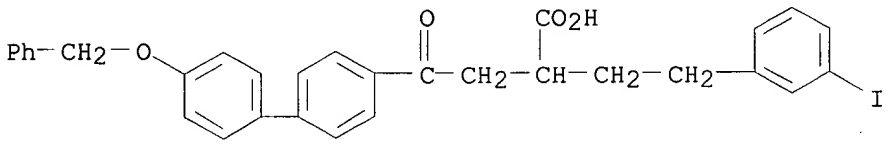


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:89702

L9 ANSWER 61 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **179545-45-0** REGISTRY
CN [1,1'-Biphenyl]-4-butanoic acid, .alpha.-[2-(3-iodophenyl)ethyl]-.gamma.-oxo-4'-(phenylmethoxy)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C31 H27 I O4
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

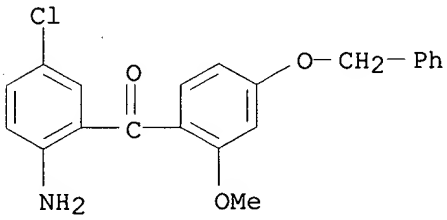
3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:161412

REFERENCE 2: 128:34585

REFERENCE 3: 125:142275

L9 ANSWER 62 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **171768-66-4** REGISTRY
CN Methanone, (2-amino-5-chlorophenyl)[2-methoxy-4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H18 Cl N O3
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



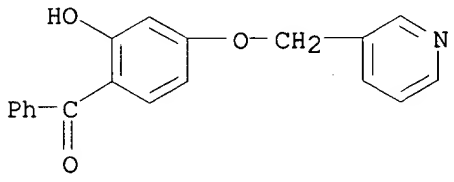
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:230397

REFERENCE 2: 124:55994

L9 ANSWER 63 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **170282-56-1** REGISTRY
CN Methanone, [2-hydroxy-4-(3-pyridinylmethoxy)phenyl]phenyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H15 N O3
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



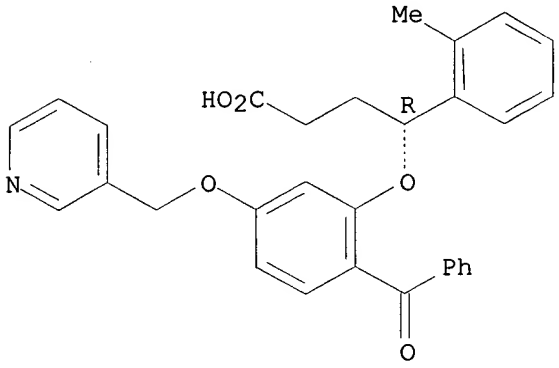
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 124:55567

L9 ANSWER 65 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **170281-27-3** REGISTRY
CN Benzenebutanoic acid, .gamma.-[2-benzoyl-5-(3-pyridinylmethoxy)phenoxy]-2-methyl-, (R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C30 H27 N O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

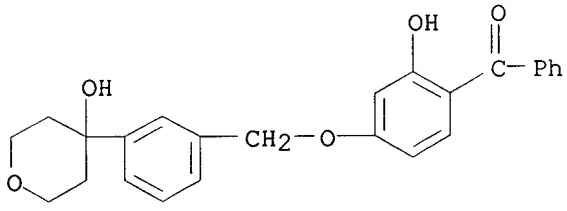


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 124:55567

L9 ANSWER 66 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **167841-12-5** REGISTRY
CN Methanone, [2-hydroxy-4-[[3-(tetrahydro-4-hydroxy-2H-pyran-4-yl)phenyl]methoxy]phenyl]phenyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H24 O5
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



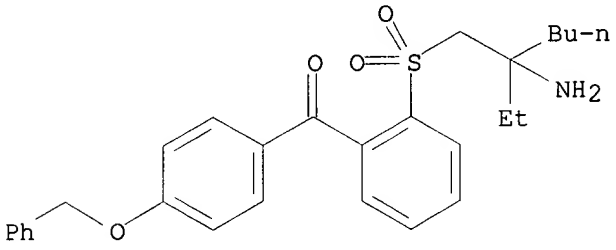
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:285781

REFERENCE 2: 123:198620

L9 ANSWER 67 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **163445-47-4** REGISTRY
CN Methanone, [2-[(2-amino-2-ethylhexyl)sulfonyl]phenyl][4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Methanone, [2-[(2-amino-2-ethylhexyl)sulfonyl]phenyl][4-(phenylmethoxy)phenyl]-, (.+-.)-
MF C28 H33 N O4 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

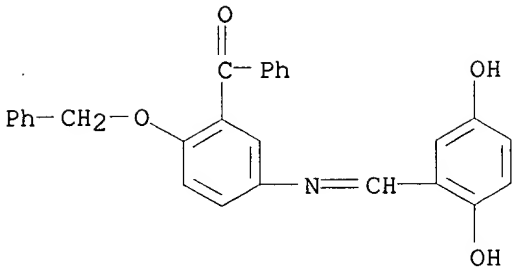


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:314587

L9 ANSWER 70 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **154737-32-3** REGISTRY
CN Methanone, [5-[[(2,5-dihydroxyphenyl)methylene]amino]-2-(phenylmethoxy)phenyl]phenyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C27 H21 N O4
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



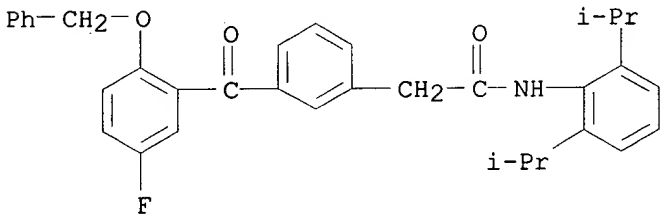
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 124:306500

REFERENCE 2: 120:298250

L9 ANSWER 73 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **152799-08-1** REGISTRY
CN Benzeneacetamide, N-[2,6-bis(1-methylethyl)phenyl]-3-[5-fluoro-2-(phenylmethoxy)benzoyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C34 H34 F N O3
SR CA
LC STN Files: CA, CAPLUS



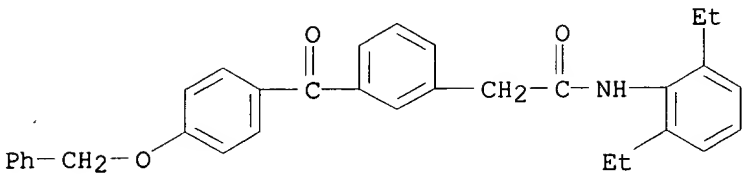
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 120:134055

L9 ANSWER 76 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **152798-46-4** REGISTRY
CN Benzeneacetamide, N-(2,6-diethylphenyl)-3-[4-(phenylmethoxy)benzoyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C32 H31 N O3
SR CA

LC STN Files: CA, CAPLUS



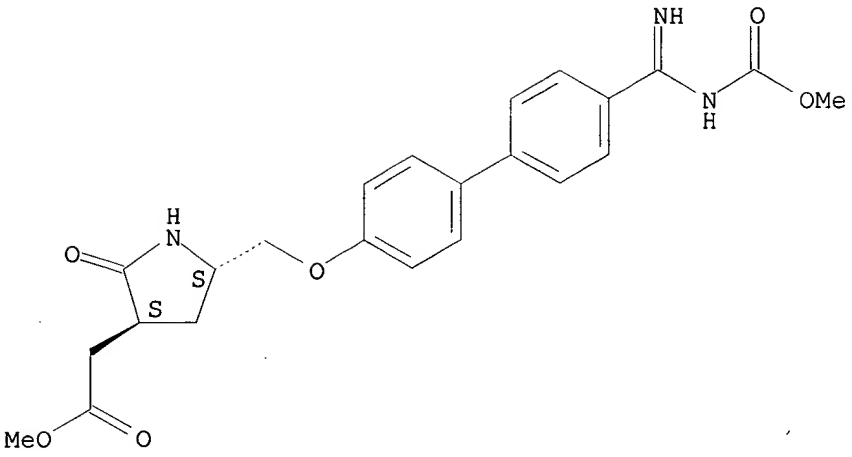
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 120:134055

L9 ANSWER 77 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **149503-79-7** REGISTRY
CN 3-Pyrrolidineacetic acid, 5-[[[4'-[imino[(methoxycarbonyl)amino]methyl][1,1'-biphenyl]-4-yl]oxy]methyl]-2-oxo-, methyl ester, (3S,5S)-(9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 3-Pyrrolidineacetic acid, 5-[[[4'-[imino[(methoxycarbonyl)amino]methyl][1,1'-biphenyl]-4-yl]oxy]methyl]-2-oxo-, methyl ester, (3S-trans)-
OTHER NAMES:
CN Lefradafiban
FS STEREOSEARCH
MF C23 H25 N3 O6
SR CA
LC STN Files: ADISNEWS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, DDFU, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IPA, MEDLINE, PHAR, PROMT, SYNTHLINE, TOXCENTER, USAN, USPATFULL
Other Sources: WHO

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

12 REFERENCES IN FILE CA (1967 TO DATE)
13 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:161368

REFERENCE 2: 135:116824

REFERENCE 3: 134:13054

REFERENCE 4: 133:232833

REFERENCE 5: 133:171670

REFERENCE 6: 131:280937

REFERENCE 7: 131:266821

REFERENCE 8: 131:153339

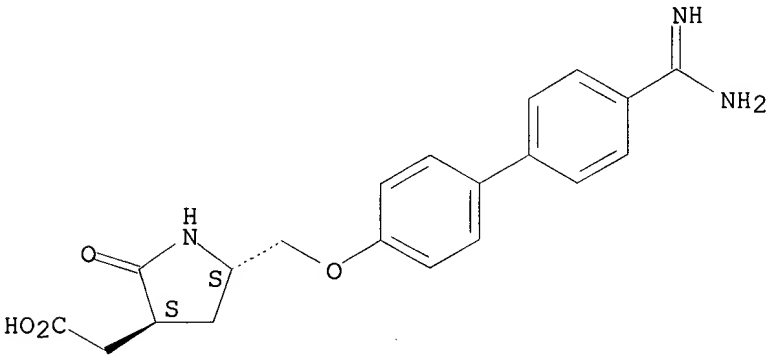
REFERENCE 9: 131:18012

REFERENCE 10: 127:243027

L9 ANSWER 78 OF 78 REGISTRY COPYRIGHT 2002 ACS
RN **148396-36-5** REGISTRY
CN 3-Pyrrolidineacetic acid, 5-[[[4'-(aminoiminomethyl)[1,1'-biphenyl]-4-yl]oxy]methyl]-2-oxo-, (3S,5S)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 3-Pyrrolidineacetic acid, 5-[[[4'-(aminoiminomethyl)[1,1'-biphenyl]-4-yl]oxy]methyl]-2-oxo-, (3S-trans)-
OTHER NAMES:
CN BIBU 52
CN Fradafiban
FS STEREOSEARCH
DR **158516-54-2**
MF C20 H21 N3 O4

SR CA
LC STN Files: ADISINSIGHT, ADISNEWS, BIOSIS, BIOTECHNO, CA, CAPLUS, DDFU, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IPA, MEDLINE, PHAR, PROMT, SYNTHLINE, TOXCENTER, USAN, USPATFULL
Other Sources: WHO

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

12 REFERENCES IN FILE CA (1967 TO DATE)
12 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 135:14105

REFERENCE 2: 131:280937

REFERENCE 3: 131:266821

REFERENCE 4: 131:153339

REFERENCE 5: 131:18012

REFERENCE 6: 130:90255

REFERENCE 7: 128:275085

REFERENCE 8: 128:136312

REFERENCE 9: 127:287677

REFERENCE 10: 127:243027

FILE 'CAOLD' ENTERED AT 10:15:27 ON 21 MAR 2002
L10 0 S L9

(FILE 'USPATFULL' ENTERED AT 10:15:39 ON 21 MAR 2002)
L11 27 S L9
L12 26 S L11 AND (?ATHEROSCLER? OR ?ARTERIOSCLER? OR ARTER?)

Searcher : Shears 308-4994

L12 ANSWER 1 OF 26 USPATFULL

ACCESSION NUMBER: 2002:29372 USPATFULL

TITLE: Synergy between low molecular weight heparin and platelet aggregation inhibitors, providing a combination therapy for the prevention and treatment of various thromboembolic disorders

INVENTOR(S): Wong, Pancras C., Wilmington, DE, United States
Mousa, Shaker A., Lincoln University, PA, United States

PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, Princeton, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6346517	B1	20020212	
APPLICATION INFO.:	US 2000-523395		20000310	(9)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 1999-123820P	19990311	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Henley, III, Raymond
LEGAL REPRESENTATIVE: Black, Robert W., Wilk-Orescan, Rosemarie, Fuzail, Kalim S.
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 5 Drawing Figure(s); 5 Drawing Page(s)
LINE COUNT: 847
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention is directed to a combination therapy comprising the administration of a low molecular weight heparin such as tinzaparin and a platelet GPIIb/IIIa antagonist such as roxifiban for treating, preventing and reducing the risk of thromboembolic disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 2 OF 26 USPATFULL

ACCESSION NUMBER: 2001:197071 USPATFULL

TITLE: Aminobenzophenones as inhibitors of interleukin and TNF

INVENTOR(S): Ottosen, Erik Rytter, .O slashed.lstykke, Denmark
Rachlin, Schneur, Melby, Denmark

PATENT ASSIGNEE(S): Leo Pharmaceutical Products Ltd.A/S/ (L.o slashed.vens kemiske Fabrik Produktionsaktieselskab), Ballerup, Denmark (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6313174	B1	20011106	
	WO 9832730		19980730	
APPLICATION INFO.:	US 1999-341923		19990721	(9)
	WO 1998-DK8		19980108	
			19990721	PCT 371 date
			19990721	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1997-1453	19970124

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Killos, Paul J.
LEGAL REPRESENTATIVE: Pillsbury Winthrop LLP
NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
LINE COUNT: 2166
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The compounds of the present invention are represented by general formula (I) in which formula R.sub.1 and R.sub.2 stand independently for one or more, similar or different substituents selected from the group consisting of hydrogen, halogen, hydroxy, mercapto, trifluoromethyl, amino, alkyl, alkoxy, alkylthio, alkylamino, or alkoxycarbonyl, the C-content of which can be from 1 to 5, cyano, carboxy, carbamoyl, phenyl, or nitro; R.sub.3 stands for hydrogen, halogen, hydroxy, mercapto, trifluoromethyl, amino, alkyl, alkoxy, alkylthio, alkylamino, or alkoxycarbonyl, the C-content of which can be from 1 to 5, phenyl, cyano, carboxy, or carbamoyl; R.sub.4, R.sub.5 and R.sub.6 stand independently for hydrogen, trifluoromethyl, alkyl, carbamoyl, alkoxycarbonyl, or alkyloxo, the C-content of which can be from 1 to 5; X stands for oxygen, N--OH, N--O-alkyl, dialkoxy, cyclic dialkoxy, dialkylthio, or cyclic dialkylthio, the C-content of which can be from 1 to 5. The present compounds are of value in the human and veterinary practice as systemic and topical therapeutic agents for the treatment and prophylaxis of asthma, allergy, rheumatoid arthritis, spondyloarthritis, gout, **atherosclerosis**, chronic inflammatory bowel disease, proliferative and inflammatory skin disorders, such as psoriasis, and atopic dermatitis. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 3 OF 26 USPATFULL
ACCESSION NUMBER: 2001:194398 USPATFULL
TITLE: Combination therapy for reducing the risks associated with cardiovascular disease
INVENTOR(S): Gould, Robert J., Green Lane, PA, United States
Nichtberger, Steven A., Gladwyne, PA, United States
Rhymer, Patricia A., Martinsville, NJ, United States
Olofsson, Lars, Akersberga, Sweden

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001036913	A1	20011101
APPLICATION INFO.:	US 2001-764511	A1	20010118 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 1999-147858, filed on 27 May 1999, GRANTED, Pat. No. US 6235706 A 371 of International Ser. No. WO 1997-US16388, filed on 15 Sep 1997, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-26581P	19960918 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: CAROL S. QUAGLIATO, Merck & Co., Inc., P.O. Box 2000, 126 East Lincoln Avenue, Rahway, NJ, 07065-0907
NUMBER OF CLAIMS: 43
EXEMPLARY CLAIM: 1
LINE COUNT: 1063
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The instant invention involves a combination therapy and pharmaceutical compositions comprised of a therapeutically effective amount of a cholesterol reducing agent such as an HMG-CoA reductase inhibitor in combination with a platelet aggregation inhibitor which is useful for inhibiting platelet aggregation, for inhibiting the formation of thrombotic occlusions, and for treating, preventing and reducing the risk of occurrence of cardiovascular and cerebrovascular events and related vaso-occlusive disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 4 OF 26 USPATFULL
ACCESSION NUMBER: 2001:153165 USPATFULL
TITLE: Benzylamine and phenylethylamine derivatives, processes for preparing the same and their use as medicaments
INVENTOR(S): Anderskewitz, Ralf, Bingen, Germany, Federal Republic of
Schromm, Kurt, Ingelheim, Germany, Federal Republic of
Renth, Ernst-Otto, Kiel, Germany, Federal Republic of
Birke, Franz, Ingelheim, Germany, Federal Republic of
Jennewein, Hans Michael, Wiesbaden, Germany, Federal Republic of
Meade, Christopher John Montague, Bingen, Germany, Federal Republic of
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma KG, Ingelheim, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6288277	B1	20010911	
	WO 9849131		19981105	
APPLICATION INFO.:	US 2000-423160		20000403	(9)
	WO 1998-EP2530		19981105	
			20000403	PCT 371 date
			20000403	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1997-19718334	19970430

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Barts, Samuel
LEGAL REPRESENTATIVE: Raymond, R. P., Witkowski, T. X., Devlin, M-E M.

NUMBER OF CLAIMS: 12
EXEMPLARY CLAIM: 1
LINE COUNT: 375
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to new phenylamine derivatives, processes for preparing them and their use as pharmaceutical compositions. The phenylamines according to the invention correspond to the general formula I ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 5 OF 26 USPATFULL
ACCESSION NUMBER: 2001:97876 USPATFULL
TITLE: Combination therapy for reducing the risks associated with cardiovascular disease
INVENTOR(S): Gould, Robert J., Green Lane, PA, United States
Nichtberger, Steven A., New Rochelle, NY, United States
Rhymer, Patricia A., Martinsville, NJ, United States
Olofsson, Lars, .ANG.kersberga, Sweden
PATENT ASSIGNEE(S): Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6251852	B1	20010626
APPLICATION INFO.:	US 1997-929595		19970915 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-26581P	19960918 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Davenport, Avis M.
LEGAL REPRESENTATIVE: Quagliato, Carol S., Winokur, Melvin
NUMBER OF CLAIMS: 42
EXEMPLARY CLAIM: 1
LINE COUNT: 1022
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The instant invention involves a combination therapy and pharmaceutical compositions comprised of a therapeutically effective amount of a cholesterol reducing agent such as an HMG-CoA reductase inhibitor in combination with a platelet aggregation inhibitor which is useful for inhibiting platelet aggregation, for inhibiting the formation of thrombotic occlusions, and for treating, preventing and reducing the risk of occurrence of cardiovascular and cerebrovascular events and related vaso-occlusive disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 6 OF 26 USPATFULL
ACCESSION NUMBER: 2001:75359 USPATFULL
TITLE: Combination therapy for reducing the risks associated with cardiovascular disease
INVENTOR(S): Gould, Robert J., Green Lane, PA, United States
Nichtberger, Steven A., Gladwyne, PA, United

States
Rhymer, Patricia A., Martinsville, NJ, United States
Olofsson, Lars, Akersberga, Sweden
PATENT ASSIGNEE(S): Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6235706	B1	20010522	
	WO 9811896		19980326	
APPLICATION INFO.:	US 1999-147858		19990527	(9)
	WO 1997-US16388		19970915	
			19990527	PCT 371 date
			19990527	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-26581P	19960918 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Henley, III, Raymond
LEGAL REPRESENTATIVE: Quagliato, Carol S., Winokur, Melvin
NUMBER OF CLAIMS: 30
EXEMPLARY CLAIM: 1
LINE COUNT: 1023
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention involves a combination therapy of administering a cholesterol reducing agent, such as a 3-hydroxy-3-methylglutaryl coenzyme a (HMG-CoA) reductase inhibitor and a platelet aggregation inhibitor for treating, preventing or reducing the risk of developing cardiovascular and cerebrovascular events and disorders in a mammal.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 7 OF 26 USPATFULL
ACCESSION NUMBER: 2001:55994 USPATFULL
TITLE: 3(5)-amino-pyrazole derivatives, process for their preparation and their use as antitumor agents
INVENTOR(S): Pevarello, Paolo, Pavia, Italy
Orsini, Paolo, Varese, Italy
Traquandi, Gabriella, Milan, Italy
Varasi, Mario, Milan, Italy
Fritzen, Edward L., Portage, MI, United States
Warpehoski, Martha A., Portage, MI, United States
Pierce, Betsy S., Kalamazoo, MI, United States
Brasca, Maria Gabriella, Cusago, Italy
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A, Milan, Italy (non-U.S. corporation)
Pharmacia & Upjohn Co., Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6218418	B1	20010417	
APPLICATION INFO.:	US 2000-667603		20000922	(9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2000-560400, filed on 28 Apr 2000 Continuation of Ser. No. US 1999-372831, filed on 12 Aug 1999
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Ramsuer, Robert W.
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt, P.C.
NUMBER OF CLAIMS: 25
EXEMPLARY CLAIM: 1
LINE COUNT: 1304
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds which are 3-amino-pyrazole derivatives represented by formula (I): ##STR1##

where

R is a C.sub.3 -C.sub.6 cycloalkyl group, which may optionally be substituted by a straight or branched C.sub.1 -C.sub.6 alkyl group, and

R.sub.1 is a straight or branched C.sub.1 -C.sub.6 alkyl group or a C.sub.2 -C.sub.4 alkenyl, cycloalkyl, aryl, arylalkyl, arylcarbonyl, aryloxyalkyl and arylalkenyl, which may be optionally substituted; or a pharmaceutically acceptable salt thereof.

The compounds are useful for the treatment of cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 8 OF 26 USPATFULL
ACCESSION NUMBER: 2001:48107 USPATFULL
TITLE: Substituted phenyl compounds
INVENTOR(S): Astles, Peter Charles, Dagenham, United Kingdom
Harper, Mark Francis, Dagenham, United Kingdom
Harris, Neil Victor, Dagenham, United Kingdom
McLay, Iain McFarlane, Dagenham, United Kingdom
Walsh, Roger John Aitchison, Dagenham, United Kingdom
Lewis, Richard Alan, Dagenham, United Kingdom
Smith, Christopher, Dagenham, United Kingdom
Porter, Barry, Dagenham, United Kingdom
McCarthy, Clive, Dagenham, United Kingdom
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Limited, Eastbourne, United Kingdom (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6211234	B1	20010403	
	WO 9513262		19950518	
APPLICATION INFO.:	US 1997-640922		19970627	(8)
	WO 1994-GB2499		19941114	
			19970627	PCT 371 date
			19970627	PCT 102(e) date

NUMBER DATE

Searcher : Shears 308-4994

PRIORITY INFORMATION:	GB 1993-23382	19931112
	GB 1994-3363	19940222
	GB 1994-10750	19940527

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Rao, Deepak R.
LEGAL REPRESENTATIVE: Ort, Ronald G.
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
LINE COUNT: 6267
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB ##STR1##

Compounds of formula (I) are described wherein R.sup.1 is hydrogen, -(lower alkyl).sub.q (CO.sub.2 R.sup.6 or OH), --CN, --C(R.sup.7).dbd.NOR.sup.8, NO.sub.2, --O(lower alkyl)R.sup.9, --C.tbd.C--R.sup.10, --CR.sup.11.dbd.C(R.sup.12)(R.sup.13), --C(.dbd.O)CH.sub.2 C(.dbd.O)CO.sub.2 H, --CO(R.sup.14), alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, thiocarbamoyl, substituted carbamoyl, substituted thiocarbamoyl, sulphamoyl or an optionally substituted nitrogen-containing ring, m, n, o and p are independently zero or 1 and R.sup.2, R.sup.3, R.sup.4 and R.sup.5 are various groups; and physiologically acceptable salts, N-oxides and prodrugs thereof. The compounds have endothelin antagonist activity and are useful as pharmaceuticals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 9 OF 26 USPATFULL
ACCESSION NUMBER: 2000:174708 USPATFULL
TITLE: Substituted 5-biarylpentanoic acids and derivatives as matrix metalloprotease inhibitors
INVENTOR(S): Kluender, Harold Clinton Eugene, Trumbull, CT, United States
Benz, Guenter Hans Heinz Herbert, Velbert, Germany, Federal Republic of
Brittelli, David Ross, Branford, CT, United States
Bullock, William Harrison, Hamden, CT, United States
Combs, Kerry Jeanne, Wallingford, CT, United States
Dixon, Brian Richard, Woodbridge, CT, United States
Schneider, Stephan, Wuppertal, Germany, Federal Republic of
Wood, Jill Elizabeth, Hamden, CT, United States
VanZandt, Michael Christopher, New Haven, CT, United States
Wolanin, Donald John, Orange, CT, United States
Wilhelm, Scott M., Orange, CT, United States
PATENT ASSIGNEE(S): Bayer Corporation, Pittsburgh, PA, United States (U.S. corporation)

NUMBER	KIND	DATE

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6166082		20001226
APPLICATION INFO.:	US 1998-57679		19980409 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1995-539409, filed on 6 Nov 1995, now patented, Pat. No. US 5789434 which is a continuation-in-part of Ser. No. US 1994-339846, filed on 15 Nov 1994, now abandoned

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Lambkin, Deborah C.
NUMBER OF CLAIMS: 18
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Figure(s); 3 Drawing Page(s)
LINE COUNT: 6861
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Inhibitors for matrix metalloproteases, pharmaceutical compositions containing them, and a process for using them to treat a variety of physiological conditions. The compounds of the invention have the generalized formula

(T).sub.x A--B--D--E--G

wherein A and B are aryl or heteroaryl rings; each T is a substituent group; x is 0, 1, or 2; the group D represents ##STR1## the group E represents a three carbon chain bearing one to three substituent groups which are independent or are involved in ring formation, possible structures being shown in the text and claims; and the group G represents --M, ##STR2## in which M represents --CO.sub.2 H, --CON(R.sup.11).sub.2, or --CO.sub.2 R.sup.12 ; and

R.sup.13 represents any of the side chains of the 19 noncyclic naturally occurring amino acids, and include pharmaceutically acceptable salts thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 10 OF 26 USPATFULL

ACCESSION NUMBER: 1999:37157 USPATFULL
TITLE: Substituted 4-biarylbutyric acid derivatives as matrix metalloprotease inhibitors
INVENTOR(S): Kluender, Harold Clinton Eugene, Trumbull, CT, United States
Dixon, Brian Richard, Woodbridge, CT, United States
VanZandt, Michael Christopher, Guilford, CT, United States
Wilhelm, Scott McClelland, Orange, CT, United States
Wolanin, Donald John, Orange, CT, United States
Bullock, William Harrison, West Haven, CT, United States
PATENT ASSIGNEE(S): Bayer Corporation, Pittsburgh, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5886043		19990323

APPLICATION INFO.: US 1997-866778 19970530 (8)
RELATED APPLN. INFO.: Continuation of Ser. No. US 1995-463490, filed on 5 Jun 1995, now abandoned which is a continuation of Ser. No. US 1994-339846, filed on 15 Nov 1994, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Lambkin, Deborah C.
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
LINE COUNT: 7435
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Inhibitors for matrix metalloproteases, pharmaceutical compositions containing them, and a process for using them to treat a variety of physiological conditions. The compounds of the invention have the generalized formula ##STR1## wherein each T is a substituent group; x is 0, 1, or 2; the group D represents ##STR2## the group R6 represents variety of possible substituent groups on the carbon chain between D and G, and the group G represents M, ##STR3## in which M represents --CO.sub.2 H, --CON(R.sup.11).sub.2, or --CO.sub.2 R.sup.12, and R.sup.13 represents any of the side chains of the 19 noncyclic naturally occurring amino acids.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 11 OF 26 USPATFULL
ACCESSION NUMBER: 1999:37138 USPATFULL
TITLE: Thiophene-containing butonic acid derivatives as matrix metalloprotease inhibitors
INVENTOR(S): Kluender, Harold Clinton Eugene, Trumbull, CT, United States
Benz, Guenter Hans Herbert Heinz, Velbert, Germany, Federal Republic of
Bullock, William Harrison, West Haven, CT, United States
PATENT ASSIGNEE(S): Bayer Corporation, Pittsburgh, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5886024		19990323
APPLICATION INFO.:	US 1997-865325		19970528 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1995-463794, filed on 5 Jun 1995, now abandoned which is a continuation of Ser. No. US 1994-339846, filed on 15 Nov 1994, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Lambkin, Deborah C.
NUMBER OF CLAIMS: 14
EXEMPLARY CLAIM: 1
LINE COUNT: 7455
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Inhibitors for matrix metalloproteases, pharmaceutical compositions containing them, and a process for using them to treat a variety of physiological conditions. The compounds of the invention have the generalized formula ##STR1## wherein each T is

a substituent group; x is 0, 1, or 2; the group D represents ##STR2## the group R6 represents a variety of possible substituent groups on the carbon chain between D and G, and the group G represents M, ##STR3## in which M represents --CO.sub.2 H, --CON(R.sup.11).sub.2, or --CO.sub.2 R.sup.12; and R.sup.13 represents any of the side chains of the 19 noncyclic naturally occurring amino acids.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 12 OF 26 USPATFULL

ACCESSION NUMBER:	1999:24691 USPATFULL
TITLE:	Substituted cycloalkanecarboxylic acid derivatives as matrix metalloprotease inhibitors
INVENTOR(S):	Kluender, Harold Clinton Eugene, Trumbull, CT, United States Benz, Guenter Hans Herbert Heinz, Velbert, Germany, Federal Republic of Combs, Kerry Jeanne, Wallingford, CT, United States Dixon, Brian Richard, Woodbridge, CT, United States VanZandt, Michael Christopher, Guilford, CT, United States Wilhelm, Scott McClelland, Orange, CT, United States Wolanin, Donald John, Orange, CT, United States Wood, Jill Elizabeth, Hamden, CT, United States Schneider, Stephan, Wuppertal, Germany, Federal Republic of
PATENT ASSIGNEE(S):	Bayer Corporation, Pittsburgh, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5874473		19990223
APPLICATION INFO.:	US 1997-864666		19970528 (8)

RELATED APPLN. INFO.:	Continuation of Ser. No. US 1995-462729, filed on 5 Jun 1995, now abandoned which is a continuation of Ser. No. US 1994-339846, filed on 15 Nov 1994, now abandoned
DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Lambkin, Deborah C.
NUMBER OF CLAIMS:	16
EXEMPLARY CLAIM:	1
LINE COUNT:	7277

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Inhibitors for matrix metalloproteases, pharmaceutical compositions containing them, and a process for using them to treat a variety of physiological conditions. The compounds of the invention have the generalized formula ##STR1## wherein each T is a substituent group; x is 0, 1, or 2; the group D represents ##STR2## the subscript "e" is 2 or 3; the group R.sup.14 represents a variety of possible substituent groups on the cycloalkyl ring between D and G, and the group G represents M, ##STR3## in which M represents --CO.sub.2 H, --CON(R.sup.11).sub.2, or --CO.sub.2 R.sup.12 ; and R.sup.13

represents any of the side chains of the 19 noncyclic naturally occurring amino acids.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 13 OF 26 USPATFULL

ACCESSION NUMBER:	1999:7415 USPATFULL
TITLE:	Substituted 4-biarylbutyric acid derivatives as matrix metalloprotease inhibitors
INVENTOR(S):	Kluender, Harold Clinton Eugene, Trumbull, CT, United States Dixon, Brian Richard, Woodbridge, CT, United States VanZandt, Michael Christopher, Guilford, CT, United States Wilhelm, Scott McClelland, Orange, CT, United States Wolanin, Donald John, Orange, CT, United States Wood, Jill Elizabeth, Hamden, CT, United States
PATENT ASSIGNEE(S):	Bayer Corporation, Pittsburgh, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5861428		19990119
APPLICATION INFO.:	US 1997-866680		19970530 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1995-464253, filed on 5 Jun 1995, now abandoned which is a continuation of Ser. No. US 1994-339846, filed on 15 Nov 1994, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Lambkin, Deborah C.		
NUMBER OF CLAIMS:	16		
EXEMPLARY CLAIM:	1		
LINE COUNT:	7545		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Inhibitors for matrix metalloproteases, pharmaueutical compositions containing them, and a process for using them to treat a variety of physiological conditions. The compounds of the invention have the generalized formula ##STR1## wherein each Tis a substituent group; x is 0, 1, or 2; the group D represents ##STR2## the group R6 reresents a variety of possible substituent groups on the carbon chain between D and G, and the group G represents M, ##STR3## in which M represents --CO.sub.2 H, --CON(R.sup.11).sub.2, or --CO.sub.2 R.sup.12, and R.sup.13 represents any of the side chains of the 19 noncyclic naturally occurring amino acids.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 14 OF 26 USPATFULL

ACCESSION NUMBER:	1999:7414 USPATFULL
TITLE:	Substituted 4-biarylbutyric acid derivatives as matrix metalloprotease inhibitors
INVENTOR(S):	Kluender, Harold Clinton Eugene, 27 Academy Rd., Trumbull, CT, United States 06611 Benz, Guenter Hans Herbert Heinz, Am

Bolkumer-Busch 5, D-42553 Velbert, Germany, Federal Republic of
Brittelli, David Ross, 240 Stony Creek Rd., Branford, CT, United States 06405
Dixon, Brian Richard, 1220 Johnson Rd., Woodbridge, CT, United States 06525
VanZandt, Michael Christopher, 56 Barker Hill Dr., Guiliford, CT, United States 06437
Wilhelm, Scott McClelland, 255 Midland Dr., Orange, CT, United States 06477
Wolanin, Donald John, 320 Longmeadow Rd., Orange, CT, United States 06477

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5861427		19990119
APPLICATION INFO.:	US 1997-866679		19970530 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1995-465626, filed on 5 Jun 1995, now abandoned which is a continuation of Ser. No. US 1994-339846, filed on 15 Nov 1994, now abandoned

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Lambkin, Deborah C.
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
LINE COUNT: 7549
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Inhibitors for matrix metalloproteases, pharmaceutical compositions containing them, and a process for using them treat a variety of physiological conditions. The compounds of the invention have the generalized formula ##STR1## wherein each T is a substituent group; x is 0, 1, or 2; the group D represents ##STR2## the group R6 represents a variety of possible substituent groups on the carbon chain between D and G, and the group G represents M, ##STR3## in which M represents --CO.sub.2 H, --CON(R.sup.11).sub.2, or --CO.sub.2 R.sup.12 ; and R.sup.13 represents any of the side chains of the 19 noncyclic naturally occurring amino acids.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 15 OF 26 USPATFULL
ACCESSION NUMBER: 1999:4704 USPATFULL
TITLE: Substituted 4-biarylbutyric acid derivatives as matrix metalloprotease inhibitors
INVENTOR(S): Kluender, Harold Clinton Eugene, Trumbull, CT, United States
Brittelli, David Ross, Branford, CT, United States
Bullock, William Harrison, West Haven, CT, United States
Combs, Kerry Jeanne, Wallingford, CT, United States
Dixon, Brian Richard, Woodbridge, CT, United States
VanZandt, Michael Christopher, Guilford, CT, United States

Searcher : Shears 308-4994

Wilhelm, Scott McClelland, Orange, CT, United States
Wolanin, Donald John, Orange, CT, United States
PATENT ASSIGNEE(S): Bayer Corporation, Pittsburgh, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5859047		19990112
APPLICATION INFO.:	US 1997-866798		19970530 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1995-464253, filed on 5 Jun 1995, now abandoned which is a continuation of Ser. No. US 1994-339846, filed on 15 Nov 1994, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Lambkin, Deborah C.
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
LINE COUNT: 7482
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Inhibitors for matrix metalloproteases, pharmaceutical compositions containing them, and a process for using them to treat a variety of physiological conditions. The compounds of the invention have the generalized formula ##STR1## wherein each T is a substituted group; x is 0, 1, or 2; the group D represents ##STR2## the group R6 represents a variety of possible substituent groups on the carbon chain between D and G, and the group G represents M, ##STR3## in which M represents --CO.sub.2 H, --CON(R.sup.11).sub.2, or --CO.sub.2 R.sup.12 ; and R.sup.13 represents any of the side chains of the 19 noncyclic naturally occurring amino acids.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 16 OF 26 USPATFULL
ACCESSION NUMBER: 1998:162539 USPATFULL
TITLE: Thiophenebutanoic acid derivatives as matrix metalloprotease inhibitors
INVENTOR(S): Kluender, Harold Clinton Eugene, Trumbull, CT, United States
Benz, Guenter Hans Herbert Heinz, Velbert, Germany, Federal Republic of
Bullock, William Harrison, West Haven, CT, United States
Dixon, Brian Richard, Woodbridge, CT, United States
VanZandt, Michael Christopher, Guilford, CT, United States
Wilhelm, Scott McClelland, Orange, CT, United States
Wolanin, Donald John, Orange, CT, United States
Wood, Jill Elizabeth, Hamden, CT, United States
Brittelli, David Ross, Branford, CT, United States
PATENT ASSIGNEE(S): Bayer Corporation, Pittsburgh, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5854277		19981229
APPLICATION INFO.:	US 1997-865639		19970530 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1995-463580, filed on 5 Jun 1995, now abandoned which is a continuation of Ser. No. US 1994-339846, filed on 15 Nov 1994, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Lambkin, Deborah C.
NUMBER OF CLAIMS: 14
EXEMPLARY CLAIM: 1
LINE COUNT: 7459
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Inhibitors for matrix metalloproteases, pharmaceutical compositions containing them, and a process for using them to treat a variety of physiological conditions. The compounds of the invention have the generalized formula ##STR1## wherein each T is a substituent group; x is 0, 1, or 2; the group D represents ##STR2## the group R6 represents a variety of possible substituent groups on the carbon chain between D and G, and the group G represents M, ##STR3## in which M represents --CO.sub.2 H, --CON(R.sup.11).sub.2, or --CO.sub.2 R.sup.12 ; and R.sup.13 represents any of the side chains of the 19 noncyclic naturally occurring amino acids.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 17 OF 26 USPATFULL
ACCESSION NUMBER: 1998:135065 USPATFULL
TITLE: Sulfuric acid esters of sugar alcohols
INVENTOR(S): Chucholowski, Alexander, Grenzach-Wyhlen, Germany, Federal Republic of
Fingerle, Jurgen, Rheinfelden, Germany, Federal Republic of
Iberg, Niggi, Basel, Switzerland
Marki, Hans Peter, Basel, Switzerland
Muller, Rita, Basel, Switzerland
Pech, Michael, Hartheim, Germany, Federal Republic of
Rouge, Marianne, Basel, Switzerland
Schmid, Gerard, Kienberg, Switzerland
Tschopp, Thomas, Ettingen, Switzerland
Wessel, Hans Peter, Heitersheim, Germany, Federal Republic of
PATENT ASSIGNEE(S): Hoffmann-La Roche Inc., Nutley, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5830920		19981103
APPLICATION INFO.:	US 1996-639986		19960426 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	CH 1995-1310	19950505

DOCUMENT TYPE: Utility

FILE SEGMENT: Granted
PRIMARY EXAMINER: Peselev, Elli
LEGAL REPRESENTATIVE: Johnston, George W., Rocha-Tramaloni, Patricia S.
NUMBER OF CLAIMS: 27
EXEMPLARY CLAIM: 27
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 3670
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of the formula ##STR1## wherein n.sup.1 -n.sup.9 are each independently 0 or 1;

m.sup.1 -m.sup.9 are each independently 0 or 1, but with the proviso that at least one of m.sup.1, m.sup.2 and m.sup.3, at least one of m.sup.4, m.sup.5 and m.sup.6 and, when present, at least one of m.sup.7, m.sup.8 and m.sup.9 is 1; and wherein

X.sup.1 -X.sup.18 each independently is --O--, --CONR.sup.1,--NR.sup.1 CO-- or --NR.sup.1 --;

R.sup.1 is hydrogen or lower alkyl;

W is a benzene or s-triazine;

Y.sup.1 -Y.sup.9 each independently is an aromatic ring systems;

A.sup.1 -A.sup.3 each independently is a residue of a sugar alcohol devoid of the 1-hydroxy group or a derivative thereof, a residue of a sugar acid devoid of the 1-carboxy group or a derivative thereof or tris-(hydroxymethyl)-methyl;

D is the di-residue of a sugar alcohol devoid of 2 hydroxy groups or a derivative thereof or the di-residue of a sugar dicarboxylic acid devoid of 2 carboxy group or a derivative thereof;

Q.sup.1 -Q.sup.3 and Z.sup.1 -Z3 each independently are the di-residue of a sugar alcohol devoid of 2 hydroxy groups or a derivative thereof or the di-residue of a sugar dicarboxylic acid devoid of 2 carboxy groups or a derivative thereof or didesoxyglycopyranoside or a derivative thereof, wherein at least one hydroxy group of residues A.sup.1 -A.sup.3, D, Q.sup.1 -Q.sup.3 and Z.sup.1 -Z.sup.3 is esterified with sulfuric acid, and pharmaceutically usable salts thereof are useful for the treatment of disorders which are characterized by excessive or destructive proliferation of smooth muscle cells.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 18 OF 26 USPATFULL
ACCESSION NUMBER: 1998:108412 USPATFULL
TITLE: Inhibition of matrix metalloproteases by substituted phenalkyl compounds
INVENTOR(S): Wolanin, Donald J., Orange, CT, United States
PATENT ASSIGNEE(S): Bayer Corporation, Pittsburgh, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5804581		19980908

APPLICATION INFO.: US 1997-856696 19970515 (8)
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Ramsuer, Robert W.
NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
LINE COUNT: 1347
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Matrix metalloprotease inhibiting compounds, pharmaceutical compositions thereof and a method of disease treatment using such compounds are presented. The compounds of the invention have the generalized formula: ##STR1## wherein T is a substituent and R.sup.24 is a substituted amide moiety. These compounds are useful for inhibiting matrix metalloproteases and, therefore, combating conditions to which MMP's contribute, such as osteoarthritis, rheumatoid arthritis, septic arthritis, periodontal disease, corneal ulceration, proteinuria, aneurysmal aortic disease, dystrophobic epidermolysis, bullosa, conditions leading to inflammatory responses, osteopenias mediated by MMP activity, tempera mandibular joint disease, demyelating diseases of the nervous system, tumor metastasis or degenerative cartilage loss following traumatic joint injury, and coronary thrombosis from athrosclerotic plaque rupture. The present invention also provides pharmaceutical compositions and methods for treating such conditions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 19 OF 26 USPATFULL
ACCESSION NUMBER: 1998:92055 USPATFULL
TITLE: Derivatives of substituted 4-biarylbutyric acid as matrix metalloprotease inhibitors
INVENTOR(S): Kluender, Harold Clinton Eugene, Trumbull, CT, United States
Benz, Guenter Hans Heinz Herbert, Velbert, Germany, Federal Republic of
Brittelli, David Ross, Branford, CT, United States
Bullock, William Harrison, Hamden, CT, United States
Combs, Kerry Jeanne, Wallingford, CT, United States
Dixon, Brian Richard, Woodbridge, CT, United States
Schneider, Stephan, Wuppertal, Germany, Federal Republic of
Wood, Jill Elizabeth, Hamden, CT, United States
VanZandt, Michael Christopher, New Haven, CT, United States
Wolanin, Donald John, Orange, CT, United States
Wilhelm, Scott M., Orange, CT, United States
PATENT ASSIGNEE(S): Bayer Corporation, Pittsburgh, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5789434		19980804
APPLICATION INFO.:	US 1995-539409		19951106 (8)

Searcher : Shears 308-4994

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1994-339846, filed on 15 Nov 1994
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Dees, Jose G.
ASSISTANT EXAMINER: Cebulak, Mary C.
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Figure(s); 3 Drawing Page(s)
LINE COUNT: 6746
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Inhibitors for matrix metalloproteases, pharmaceutical compositions containing them, and a process for using them to treat a variety of physiological conditions. The presently claimed compounds have the generalized formula ##STR1## in which each T represents a substituent group; x is 0, 1, or 2; D represents ##STR2## .delta. is 0 or 1; U' represents O, S, or N, with the proviso that when U' is N, then .delta.=0, and when U' is O or S, then .delta.=1; R.sup.14 is any of a variety of substituent groups; and G represents M, ##STR3## in which M represents --CO.sub.2 H, --CON(R.sup.11).sub.2, or --CO.sub.2 R.sup.12, R.sup.11 represents H or an alkyl group, R.sup.12 represents an alkyl group, and R.sup.13 represents any of the side chains of the 19 noncyclic naturally occurring amino acids; and pharmaceutically acceptable salts thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 20 OF 26 USPATFULL
ACCESSION NUMBER: 1998:25359 USPATFULL
TITLE: 4,1-benzoxazepin derivatives and their use
INVENTOR(S): Yukimasa, Hidefumi, Nara, Japan
Tozawa, Ryuichi, Osaka, Japan
Kori, Masakuni, Hyogo, Japan
Kitano, Kazuaki, Osaka, Japan
Sugiyama, Yasuo, Hyogo, Japan
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Osaka, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5726306		19980310
APPLICATION INFO.:	US 1994-338163		19941109 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1994-195131, filed on 9 Feb 1994, now abandoned which is a continuation of Ser. No. US 1993-49455, filed on 20 Apr 1993, now abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1992-99541	19920420
	JP 1992-339947	19921221
	JP 1994-244136	19941007

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Ford, John M.
LEGAL REPRESENTATIVE: Foley & Lardner
NUMBER OF CLAIMS: 11

EXEMPLARY CLAIM: 1
LINE COUNT: 8799
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB N-containing, condensed heterocyclic compounds and salts thereof are disclosed which are useful for inhibiting squalene synthetase and fungal growth, and which are useful for treating or preventing hyperlipidemia. Also disclosed is a method for producing these compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 21 OF 26 USPATFULL
ACCESSION NUMBER: 1998:22218 USPATFULL
TITLE: Hypolipidaemic compounds
INVENTOR(S): Brieaddy, Lawrence Edward, Raleigh, NC, United States
Hodgson, Jr., Gordon Lewis, Durham, NC, United States
PATENT ASSIGNEE(S): Glaxo Wellcome Inc., RTP, NC, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5723458		19980303	
	WO 9418184		19940818	
APPLICATION INFO.:	US 1995-501132		19950815	(8)
	WO 1994-GB314		19940215	
			19950815	PCT 371 date
			19950815	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1993-3013	19930215
	GB 1993-15155	19930722

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Berch, Mark L.
ASSISTANT EXAMINER: Kifle, Bruck
LEGAL REPRESENTATIVE: Hrubiec, Robert T.
NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
LINE COUNT: 2293
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention provides novel 1,4-benzothiazepine compounds substituted with hydroxy or a group containing hydroxy, compositions comprising such compounds and their use in the treatment or prophylaxis of treating clinical conditions in which inhibition of bile acid uptake is indicated, for example, hyperlipidemia and **atherosclerosis**.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 22 OF 26 USPATFULL
ACCESSION NUMBER: 97:1490 USPATFULL
TITLE: Cyclic imino derivatives and pharmaceutical compositions containing them
INVENTOR(S): Himmelsbach, Frank, Mittelbiberach, Germany, Federal Republic of

Austel, Volkhard, Biberach, Germany, Federal Republic of
Pieper, Helmut, Biberach, Germany, Federal Republic of
Eisert, Wolfgang, Biberach, Germany, Federal Republic of
Mueller, Thomas, Biberach, Germany, Federal Republic of
Weisenberger, Johannes, Biberach, Germany, Federal Republic of
Linz, Guenter, Mittelbiberach, Germany, Federal Republic of
Krueger, Gerd, Biberach, Germany, Federal Republic of

PATENT ASSIGNEE(S): Karl Thomae GmbH, Biberach an der Riss, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5591769		19970107
APPLICATION INFO.:	US 1995-458096		19950601 (8)

RELATED APPLN. INFO.: Division of Ser. No. US 1994-365336, filed on 28 Dec 1994, now patented, Pat. No. US 5541343 which is a continuation of Ser. No. US 1991-783065, filed on 25 Oct 1991, now abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1990-4035961	19901102

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Springer, David B.
LEGAL REPRESENTATIVE: Raymond, R. P., Stempel, A. R., Rieder, W. E.
NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
LINE COUNT: 9173
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to cyclic imino compounds which have, inter alia, valuable pharmacological properties, especially inhibitory effects on cell aggregation, pharmaceutical compositions which contain these compounds and processes for preparing them.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 23 OF 26 USPATFULL
ACCESSION NUMBER: 96:106614 USPATFULL
TITLE: Cyclic imino derivatives, processes for preparing them and pharmaceutical compositions containing these compounds

INVENTOR(S): Himmelsbach, Frank, Mittelbiberch, Germany, Federal Republic of
Volkhard, Austel, Biberach, Germany, Federal Republic of
Pieper, Helmut, Biberach, Germany, Federal Republic of
Linz, Guenter, Mittelbiberach, Germany, Federal Republic of
Weisenberger, Johannes, Biberach, Germany,

	Federal Republic of Mueller, Thomas, Biberach, Germany, Federal Republic of
PATENT ASSIGNEE(S):	Dr. Karl Thomae GmbH, Biberach an der Riss, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5576444		19961119	
APPLICATION INFO.:	US 1993-53037		19930426	(8)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1992-4213919	19920428

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Raymond, Richard L.
ASSISTANT EXAMINER:	Bembenick, Brian G.
LEGAL REPRESENTATIVE:	Raymond, Robert P., Stempel, Alan R., Rieder, Wendy E.
NUMBER OF CLAIMS:	5
EXEMPLARY CLAIM:	1
LINE COUNT:	1708

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Cyclic imino derivatives of the formula

B--X.sub.2 --X.sub.1 --A--Y--E (I)

wherein A, B, E, X.sub.1, X.sub.2 and Y are as defined herein, the stereoisomers, tautomers, mixtures and addition salts thereof, pharmaceutical compositions containing these compounds and processes for preparing them. The cyclic imino derivatives are useful as inhibitors of cell-cell and cell-matrix interactions, e.g., thrombocyte aggregation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 24 OF 26 USPATFULL

ACCESSION NUMBER:	96:68160 USPATFULL
TITLE:	Cyclic imino derivatives and pharmaceutical compositions containing them
INVENTOR(S):	Himmelsbach, Frank, Mittelbiberach, Germany, Federal Republic of Austel, Volkhard, Biberach, Germany, Federal Republic of Pieper, Helmut, Biberach, Germany, Federal Republic of Eisert, Wolfgang, Biberach, Germany, Federal Republic of Mueller, Thomas, Biberach, Germany, Federal Republic of Weisenberger, Johannes, Biberach, Germany, Federal Republic of Linz, Guenter, Mittelbiberach, Germany, Federal Republic of Krueger, Gerd, Biberach, Germany, Federal Republic of

PATENT ASSIGNEE(S): Karl Thomae GmbH, Biberach an der Riss, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5541343		19960730
APPLICATION INFO.:	US 1994-365336		19941228 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1991-783065, filed on 25 Oct 1991, now abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1990-4035961	19901102

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Springer, David B.
LEGAL REPRESENTATIVE: Raymond, Robert P., Stempel, Alan R., Devlin, Mary-Ellen M.
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
LINE COUNT: 8886
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to cyclic imino compounds which have, inter alia, valuable pharmacological properties, especially inhibitory effects on cell aggregation, pharmaceutical compositions which contain these compounds and processes for preparing them.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 25 OF 26 USPATFULL
ACCESSION NUMBER: 95:52365 USPATFULL
TITLE: Heteroaryl coumarins as inhibitors of leukotriene biosynthesis
INVENTOR(S): Fortin, Rejean, Montreal, Canada
Girard, Yves, Ile Bizard, Canada
Grimm, Erich, Baie D'Urfe, Canada
Hutchinson, John, Philadelphia, PA, United States
Scheigetz, John, Dollard des Ormeaux, Canada
PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Kirkland, Canada (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5424320		19950613
APPLICATION INFO.:	US 1993-81528		19930623 (8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Ivy, C. Warren
ASSISTANT EXAMINER: Owens, A. A.
LEGAL REPRESENTATIVE: Rose, David, Yang, Mollie
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
LINE COUNT: 2042
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds having the formula I: ##STR1## are inhibitors of leukotriene biosynthesis. These compounds are useful as anti-asthmatic, anti-allergic, anti-inflammatory, and cytoprotective agents. They are also useful in treating angina,

cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, uveitis, and allograft rejection and in preventing the formation of **atherosclerotic** plaques.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 26 OF 26 USPATFULL

ACCESSION NUMBER:	94:95429 USPATFULL
TITLE:	Heteroaryl cinnamic acids as inhibitors of leukotriene biosynthesis
INVENTOR(S):	Fortin, Rejean, Montreal, Canada Girard, Yves, Ile Bizard, Canada Grimm, Erich, Baie d'Urfe, Canada Hutchinson, John, Philadelphia, PA, United States Scheigetz, John, Dollard des Ormeaux, Canada
PATENT ASSIGNEE(S):	Merck Frosst Canada, Inc., Kirkland, Canada (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5360815		19941101	
APPLICATION INFO.:	US 1993-81506		19930623	(8)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Raymond, Richard L.
ASSISTANT EXAMINER:	Cebulak, Mary C.
LEGAL REPRESENTATIVE:	Yang, Mollie M., Rose, David L.
NUMBER OF CLAIMS:	10
EXEMPLARY CLAIM:	1
LINE COUNT:	2163

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds having the formula I: ##STR1## are inhibitors of leukotriene biosynthesis. These compounds are useful as anti-asthmatic, anti-allergic, anti-inflammatory, and cytoprotective agents. They are also useful in treating angina, cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, uveitis, and allograft rejection and in preventing the formation of **atherosclerotic** plaques.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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